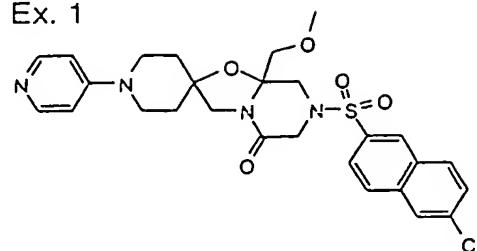


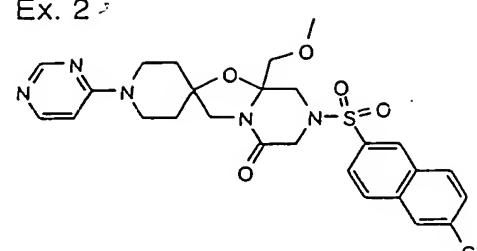
APPROVED	O.G. FIG.
BY	CLASS
DRAFTSMAN	514 SUBCLASS 250

FIG. 1

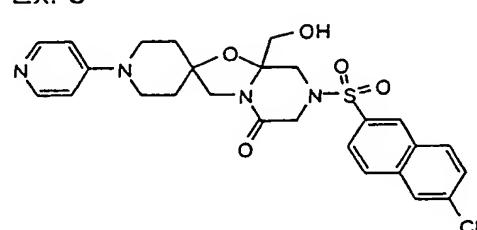
Ex. 1



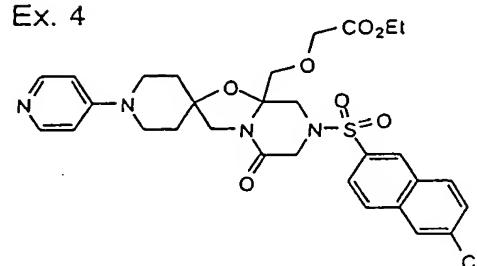
Ex. 2



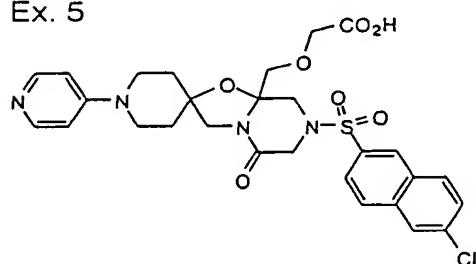
Ex. 3



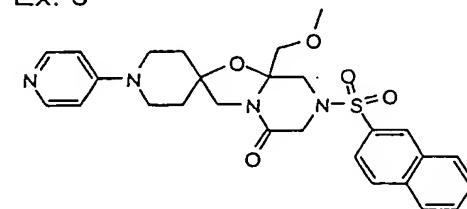
Ex. 4



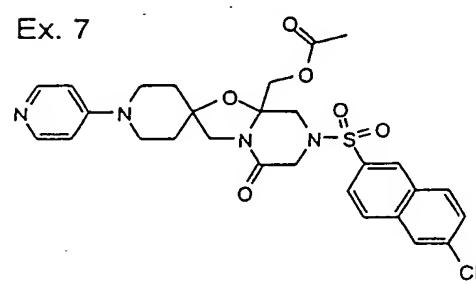
Ex. 5



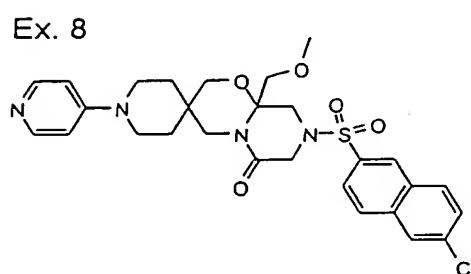
Ex. 6



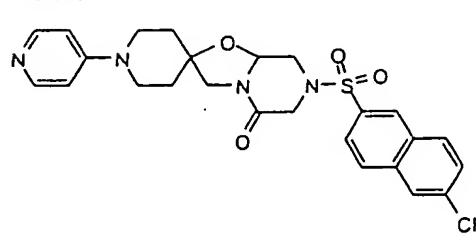
Ex. 7



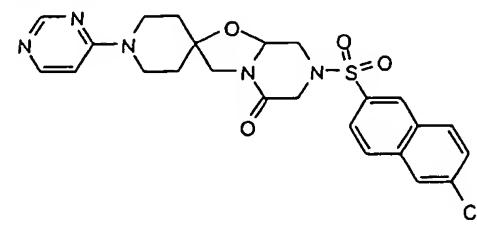
Ex. 8



Ex. 9



Ex. 10

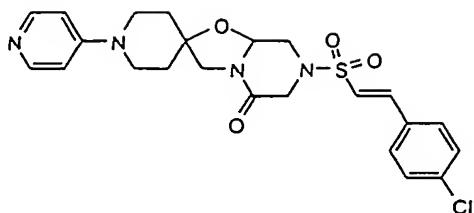


10026606 122201

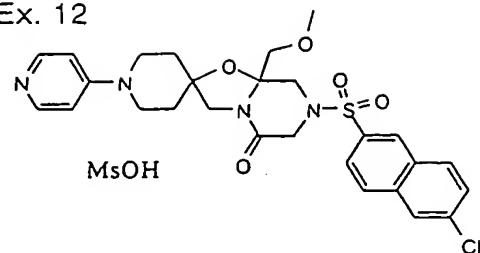
APPROVED BY	O.G. FIG.	
DRAFTSMAN	514	250

FIG. 2

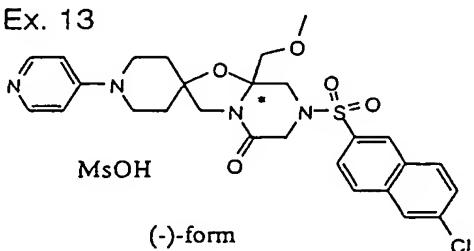
Ex. 11



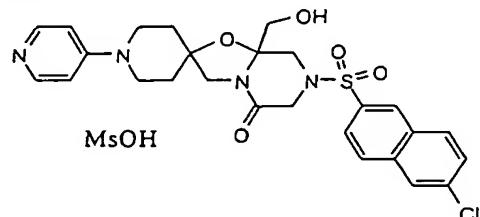
Ex. 12



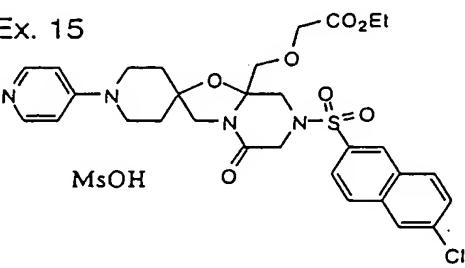
Ex. 13



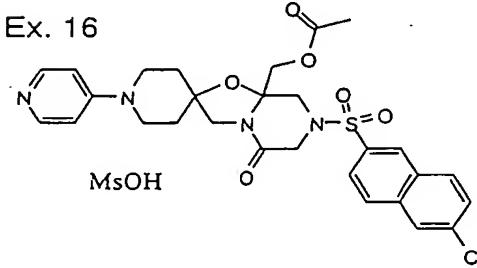
Ex. 14



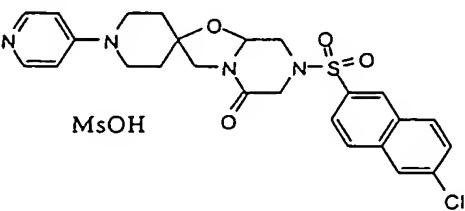
Ex. 15



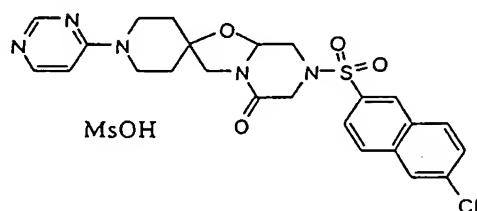
Ex. 16



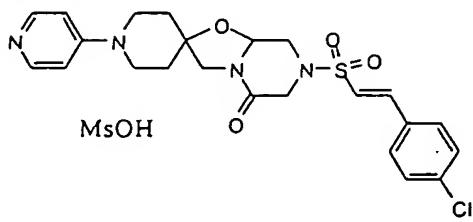
Ex. 17



Ex. 18



Ex. 19



Ex. 20

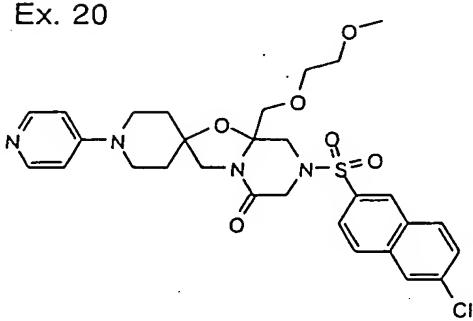
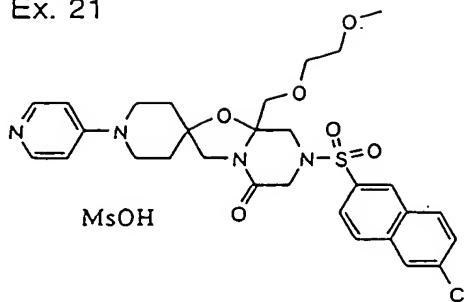
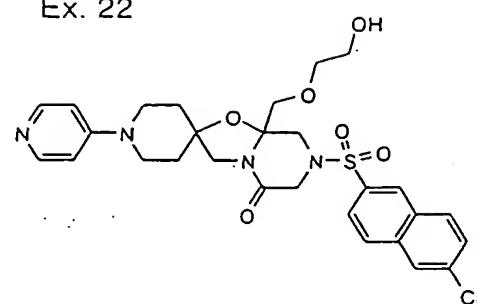


FIG. 3

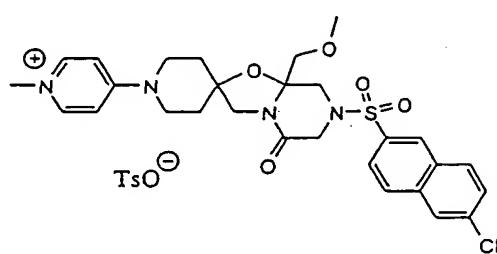
Ex. 21



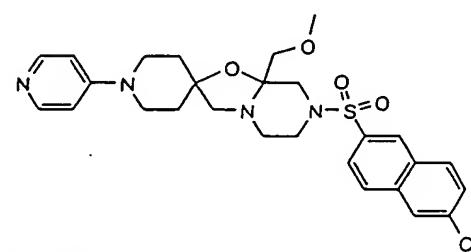
Ex. 22



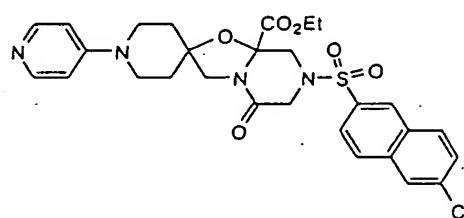
Ex. 23



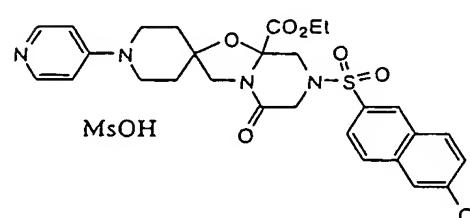
Ex. 24



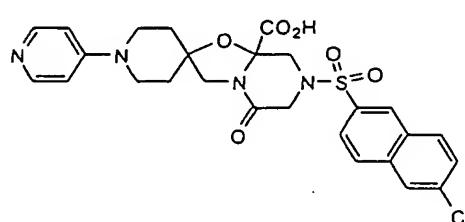
Ex. 25



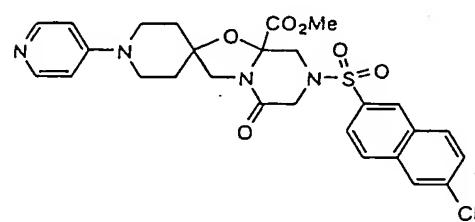
Ex. 26



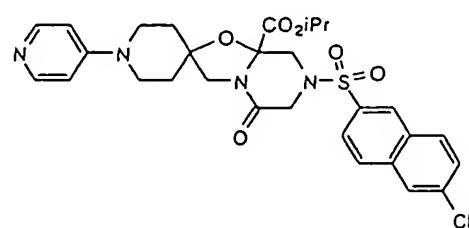
Ex. 27



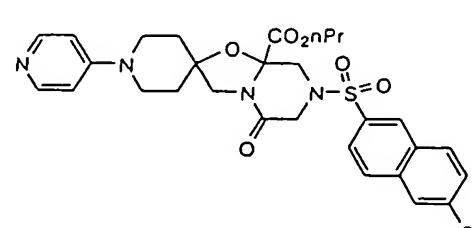
Ex. 28



Ex. 29



Ex. 30

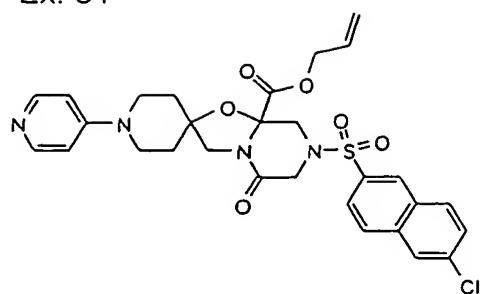


100-666-1122-01

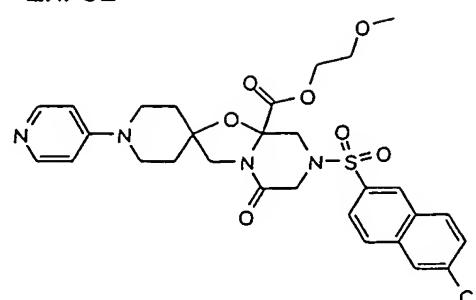
APPROVED BY DRAFTSMAN	O.G. FIG. CLASS 514	SUBCLASS 250
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FIG. 4

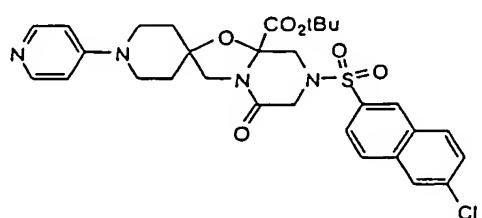
Ex. 31



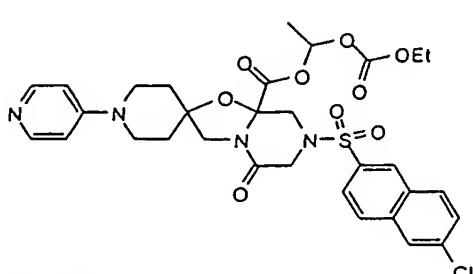
Ex. 32



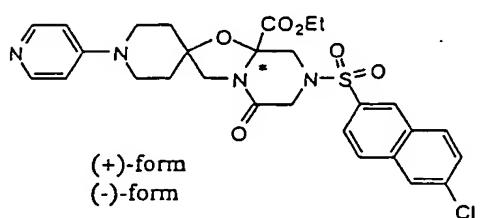
Ex. 33



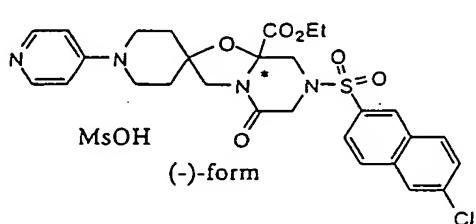
Ex. 34



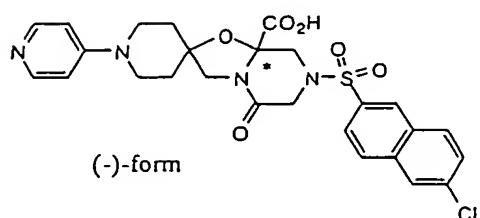
Ex. 35



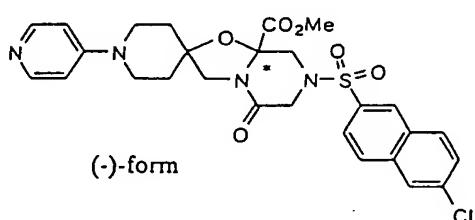
Ex. 36



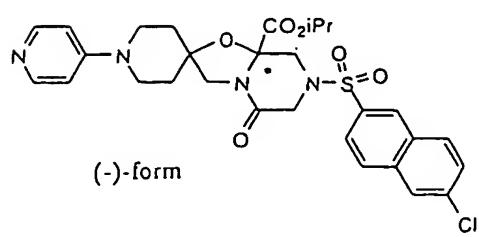
Ex. 37



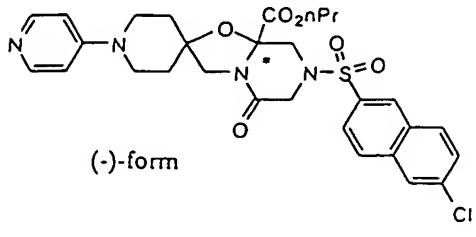
Ex. 38



Ex. 39



Ex. 40

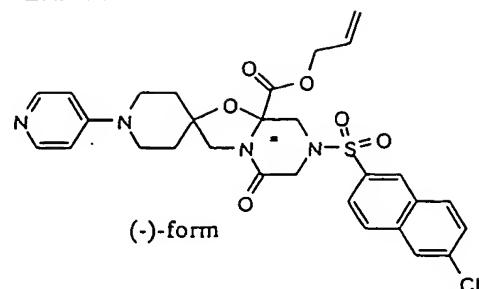


DRAFTSMAN'S DRAWING

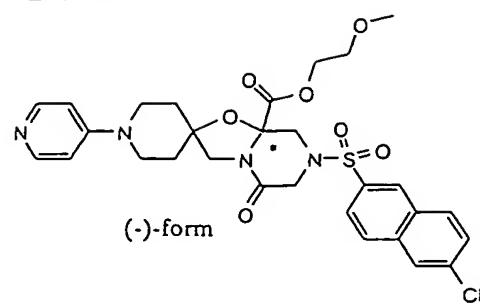
APPROVED	O.G. FIG.
BY	CLASS
DRAFTSMAN	514 250

FIG. 5

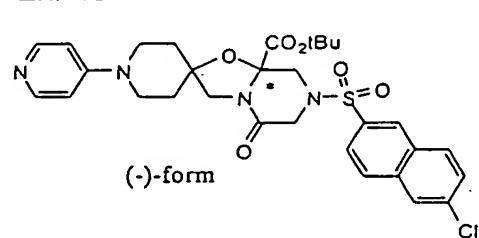
Ex. 41



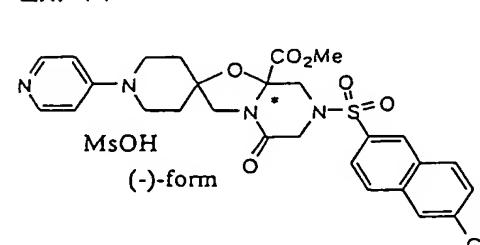
Ex. 42



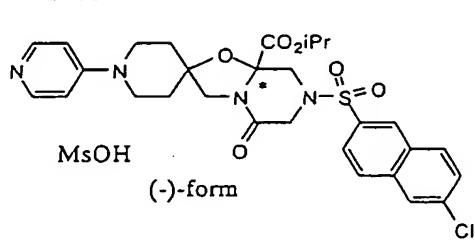
Ex. 43



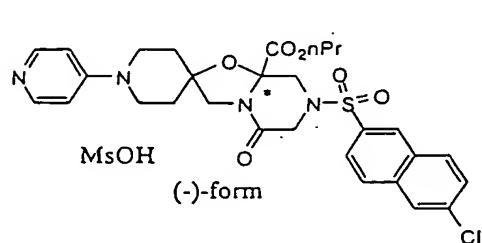
Ex. 44



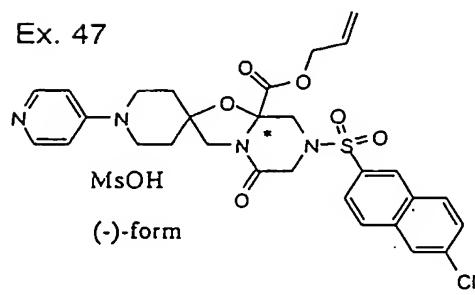
Ex. 45



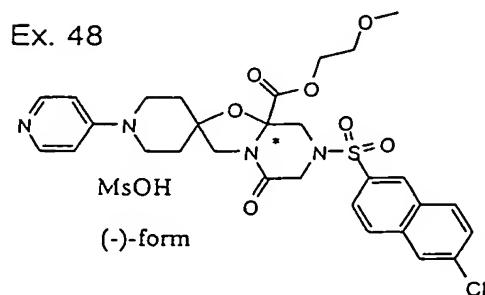
Ex. 46



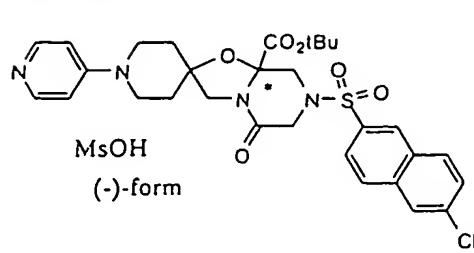
Ex. 47



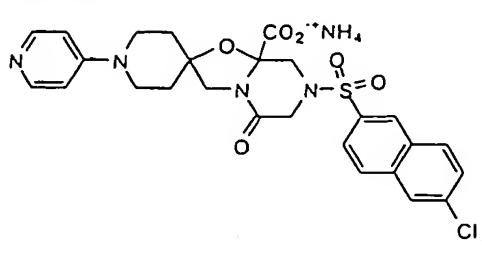
Ex. 48



Ex. 49



Ex. 50

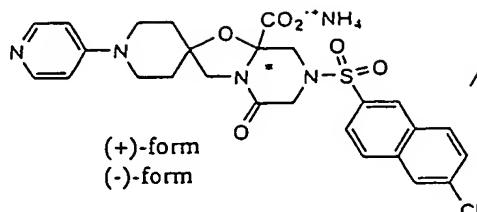


10025005-122201

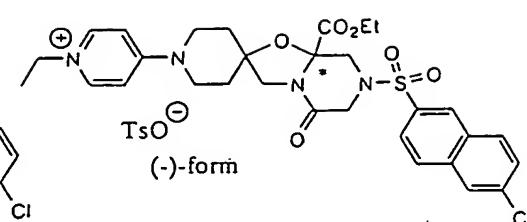
APPROVED	O.G. FIG.
BY	CLASS
DRAFTSMAN	S14 25

FIG. 6

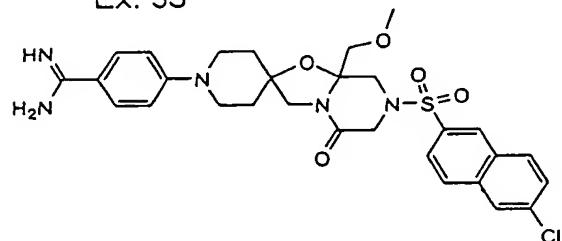
Ex. 51



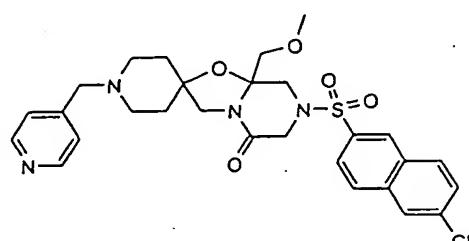
Ex. 52



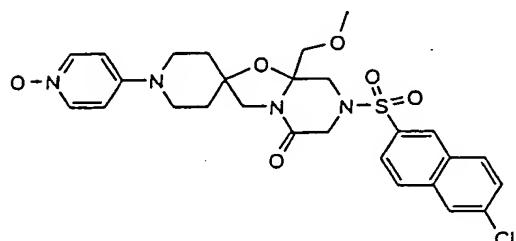
Ex. 53



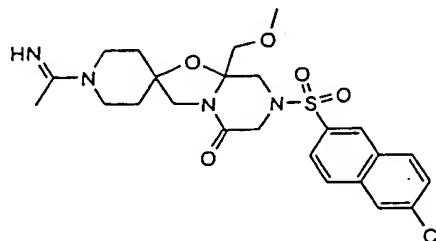
Ex. 54



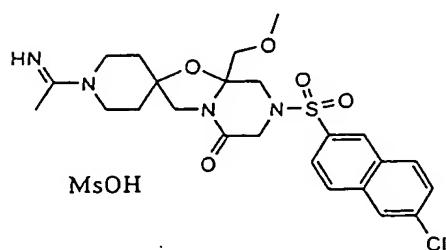
Ex. 55



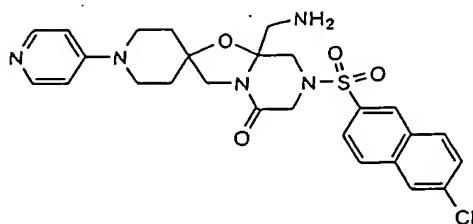
Ex. 56



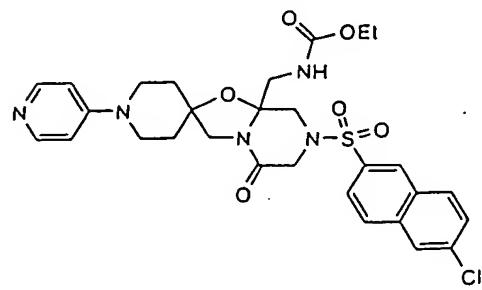
Ex. 57



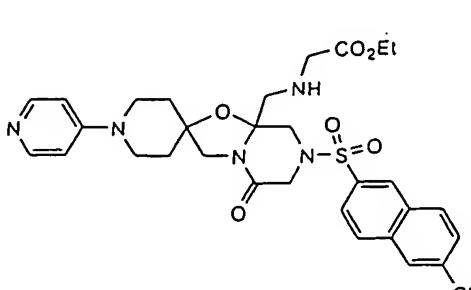
Ex. 58



Ex. 59



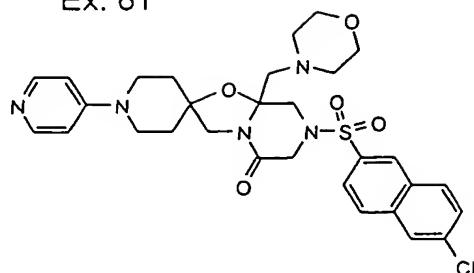
Ex. 60



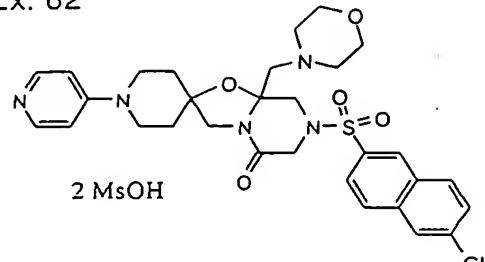
TODAY'S DATE

FIG. 7

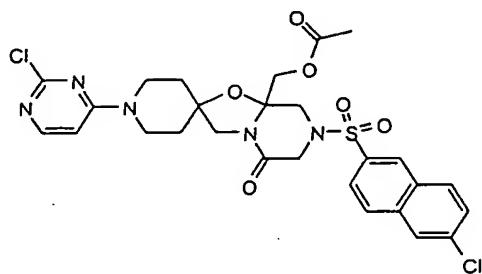
Ex. 61



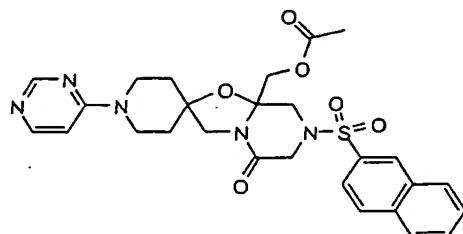
Ex. 62



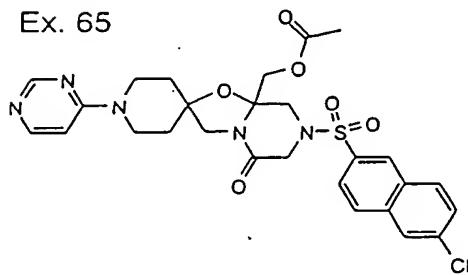
Ex. 63



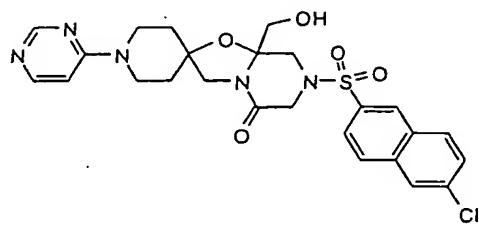
Ex. 64



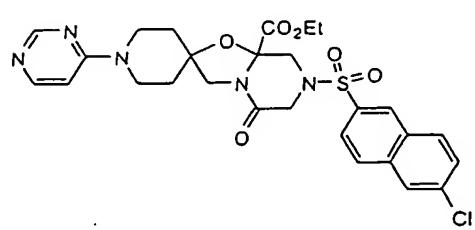
Ex. 65



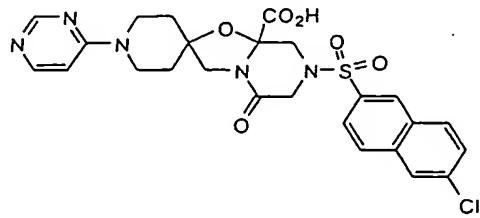
Ex. 66



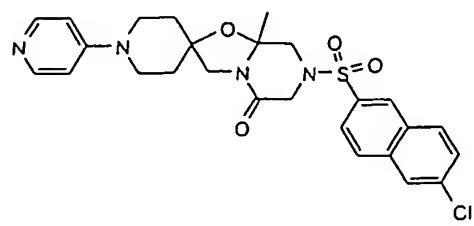
Ex. 67



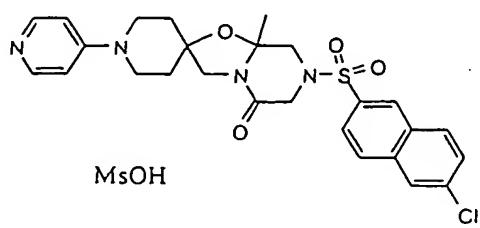
Ex. 68



Ex. 69



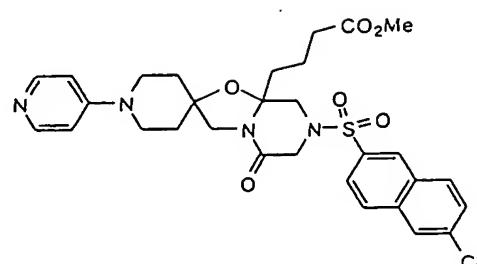
Ex. 70



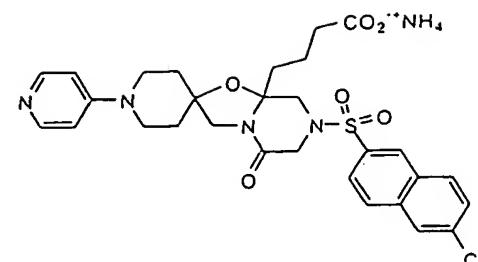
APPROVED BY DRAFTSMAN	O.G. FIG. CLASS 514	SUBCLASS 250
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FIG. 8

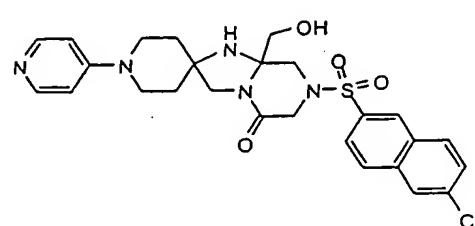
Ex. 71



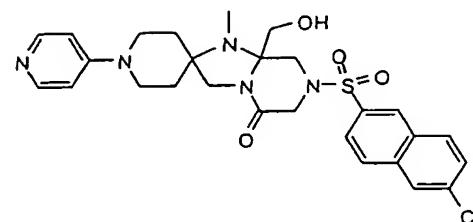
Ex. 72



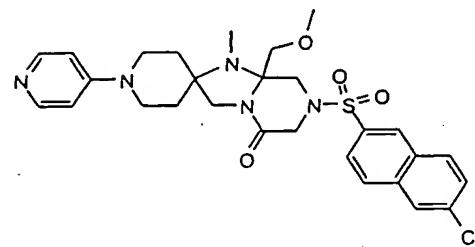
Ex. 73



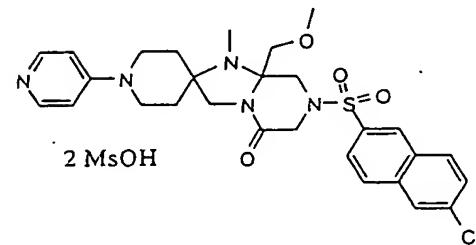
Ex. 74



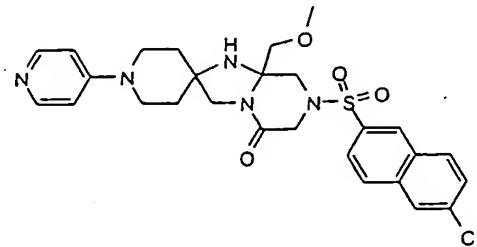
### Ex. 75



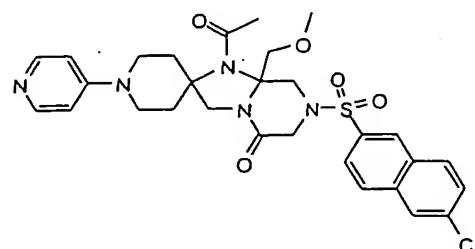
### Ex. 76



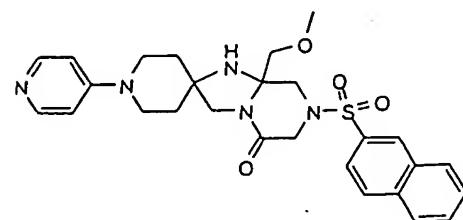
Ex. 77



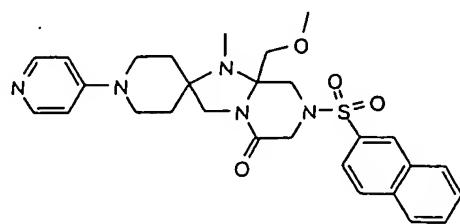
### Ex. 78



Ex. 79



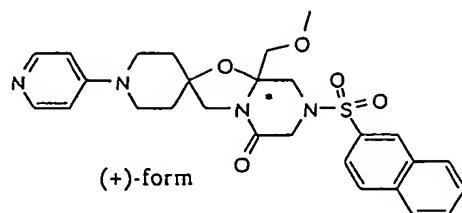
Ex. 80



APPROVED BY DRAFTSMAN	O.G. FIG. CLASS S1K	SUBCLASS 2S <sup>0</sup>
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FIG. 9

Ex. 81



Ex. 82

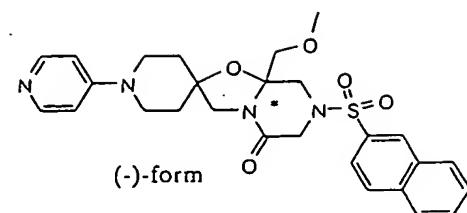
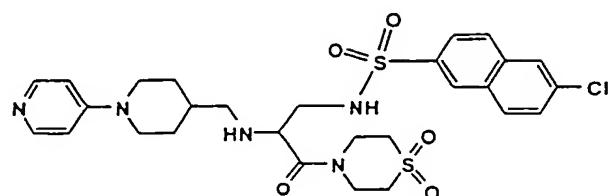
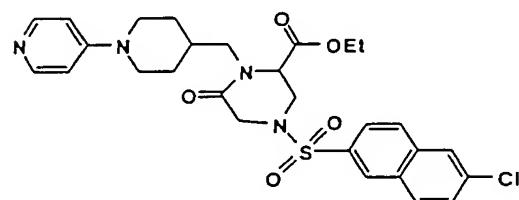


FIG. 10



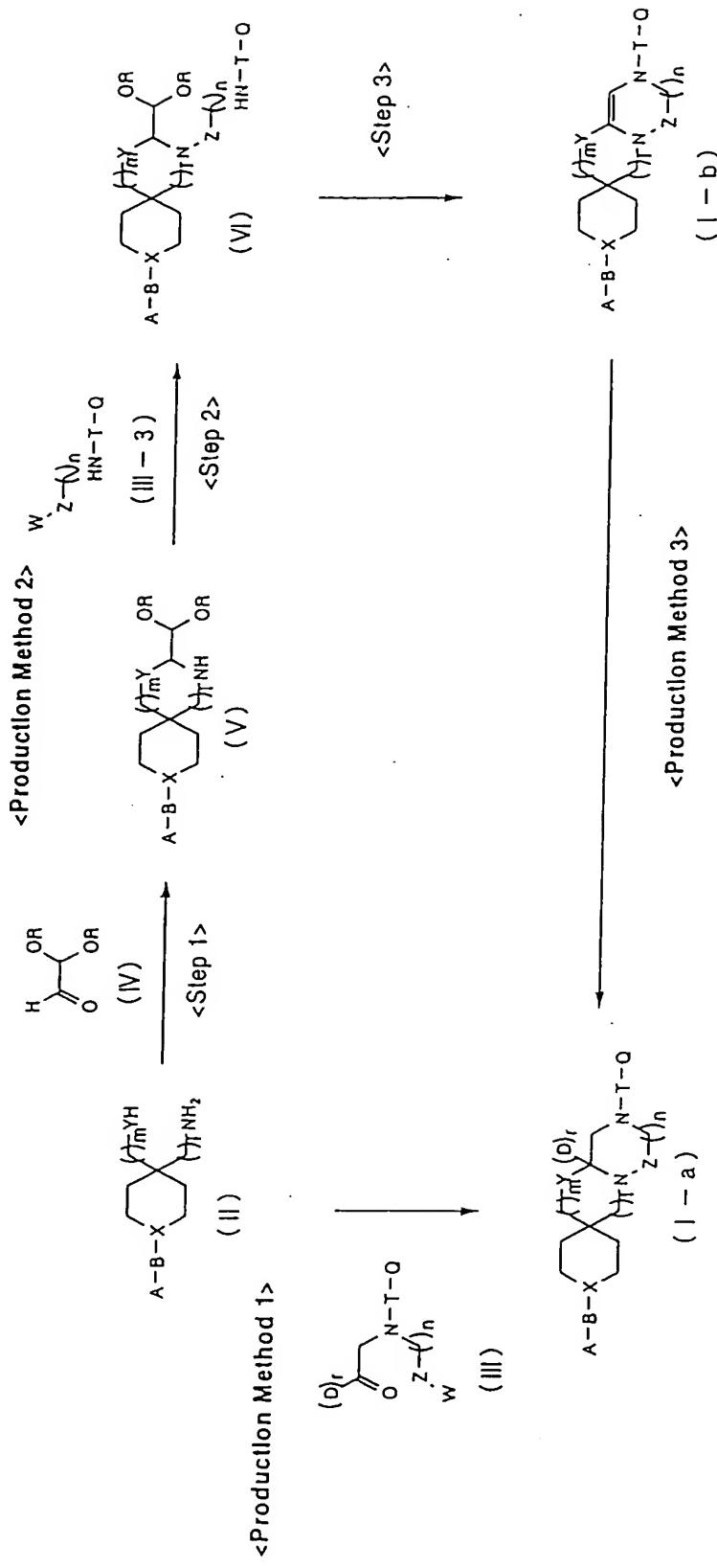
## Compound B



### Compound C

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	S1K	25

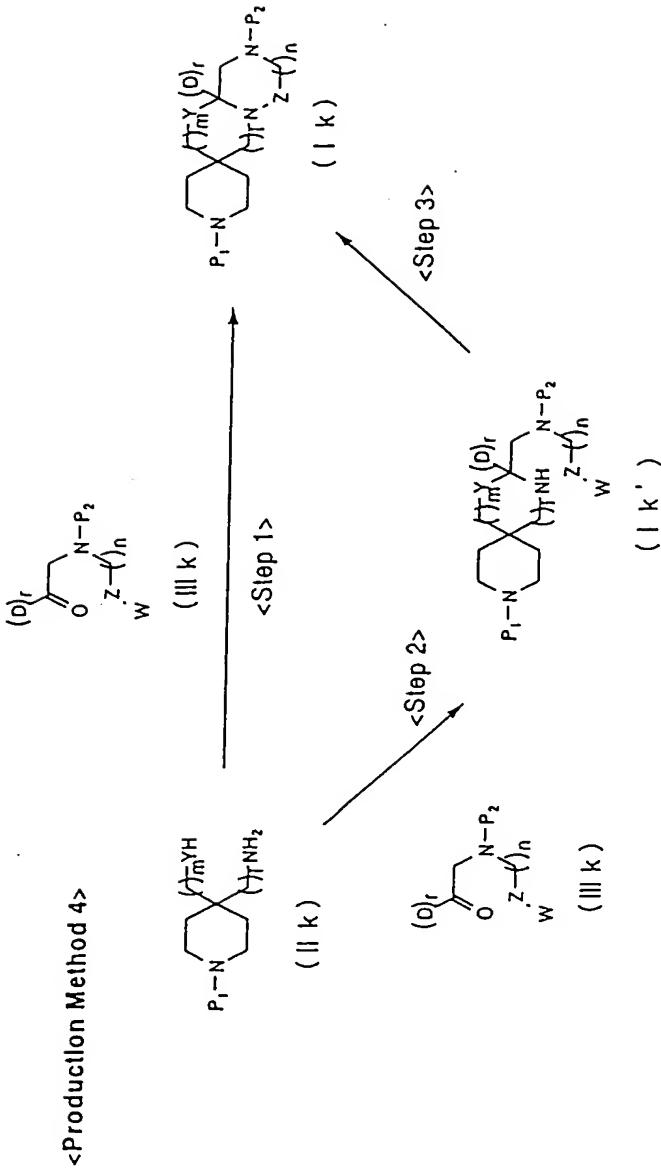
FIG. 11



APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	250

FIGURE 12  
PRODUCTION METHOD 4

FIG. 12

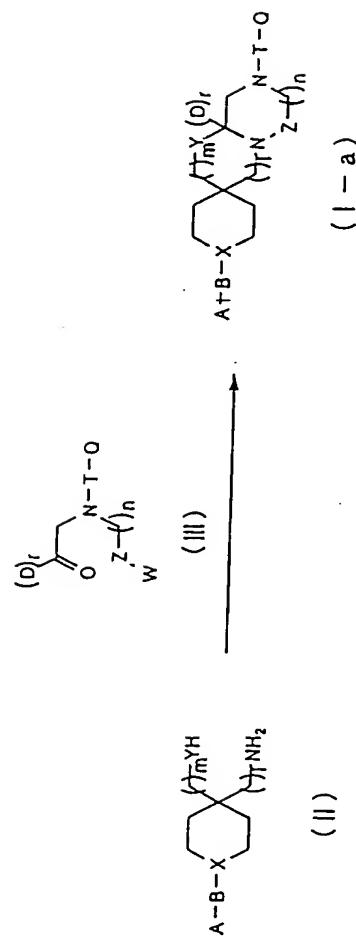


APPROVED	O.G. FIG.
BY	
DRAFTSMAN	SJK 258

FIGURE 13  
A  
B  
C  
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Z

FIG. 13

<Production Method 1>



APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	250

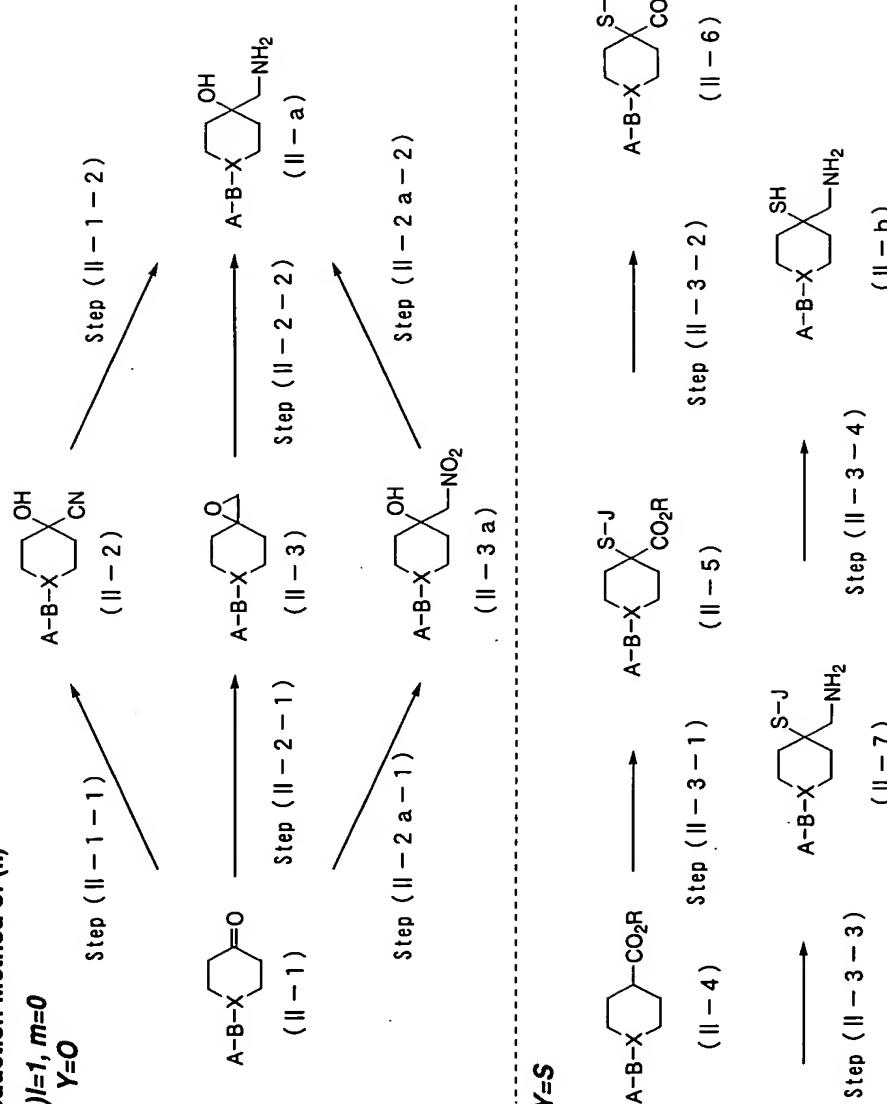
FIGURE 14  
Production Method of (II)

<Production Method 1>

Production Method of (II)

$Y=O$   
 $l-1, m=0$

FIG. 14

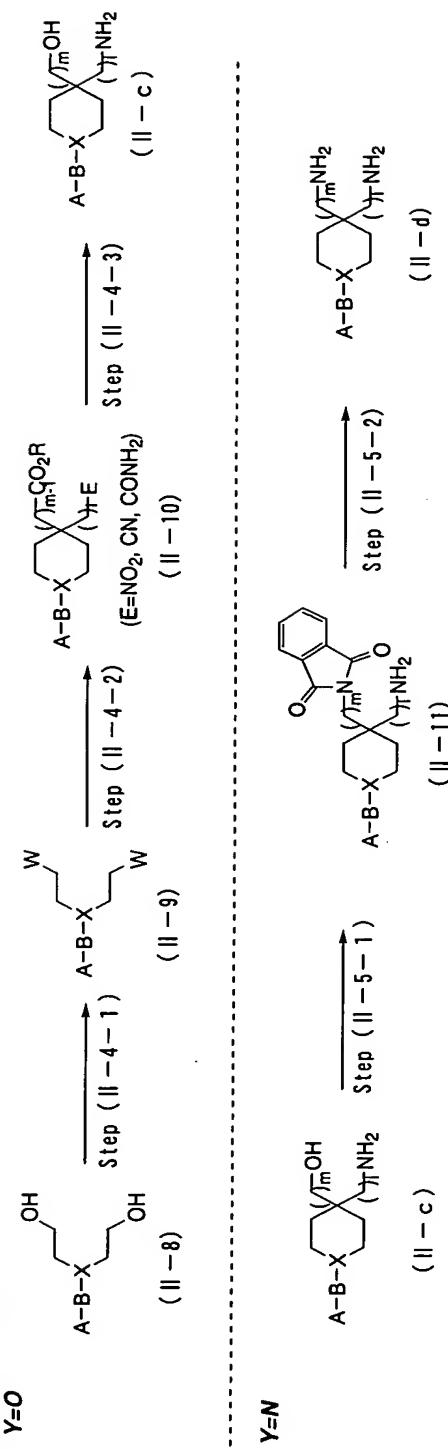


APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	280

FIGURE 15A

<Production Method 1>

Production Method of (II)  
1-2)  $l=0, 1, 2$   $m=1, 2$   
 $Y=O$



APPROVED BY DRAFTSMAN	O.G. FIG. CLASS S1K	SUBCLASS 250
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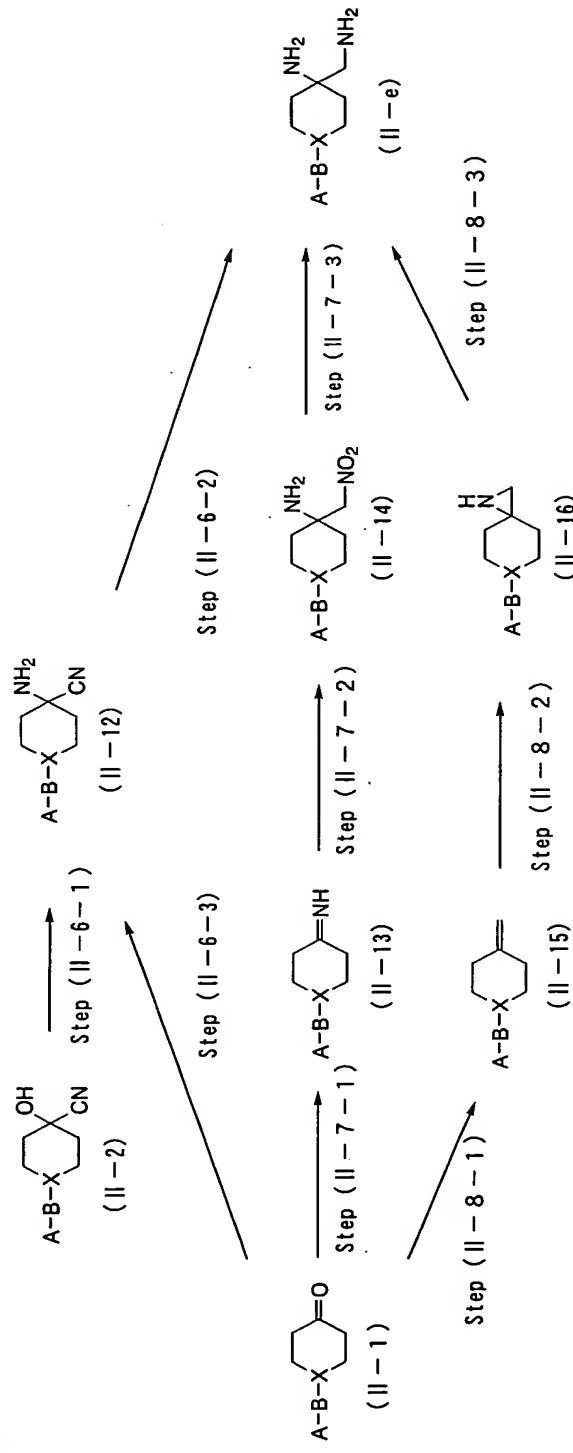
FIG. 15B  
Production Method 1  
Production Method of (II)  
 $1-2j=0, 1, 2$   $m=1, 2$

FIG. 15B

<Production Method 1>

Production Method of (II)  
 $1-2j=0, 1, 2$   $m=1, 2$

$Y=N$

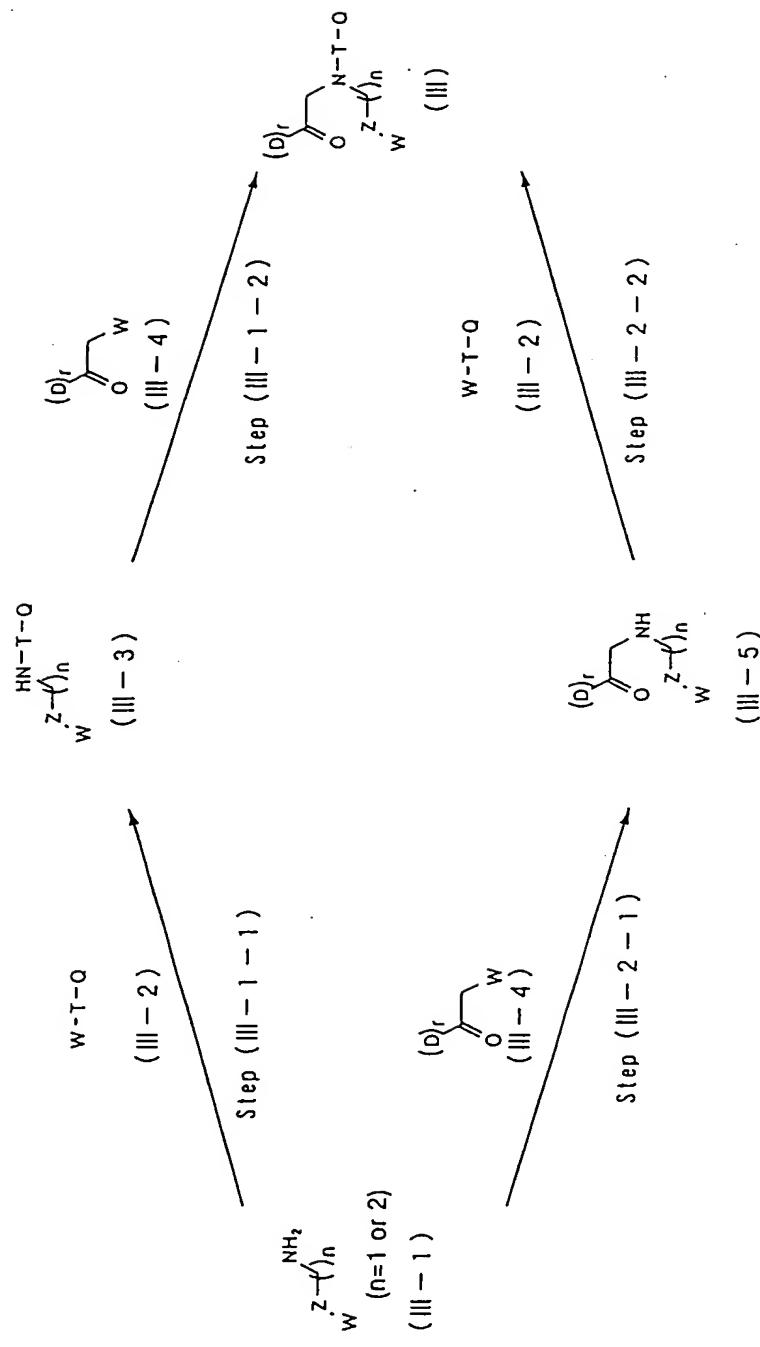


APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	258

<Production Method 1>

Production Method of (III)

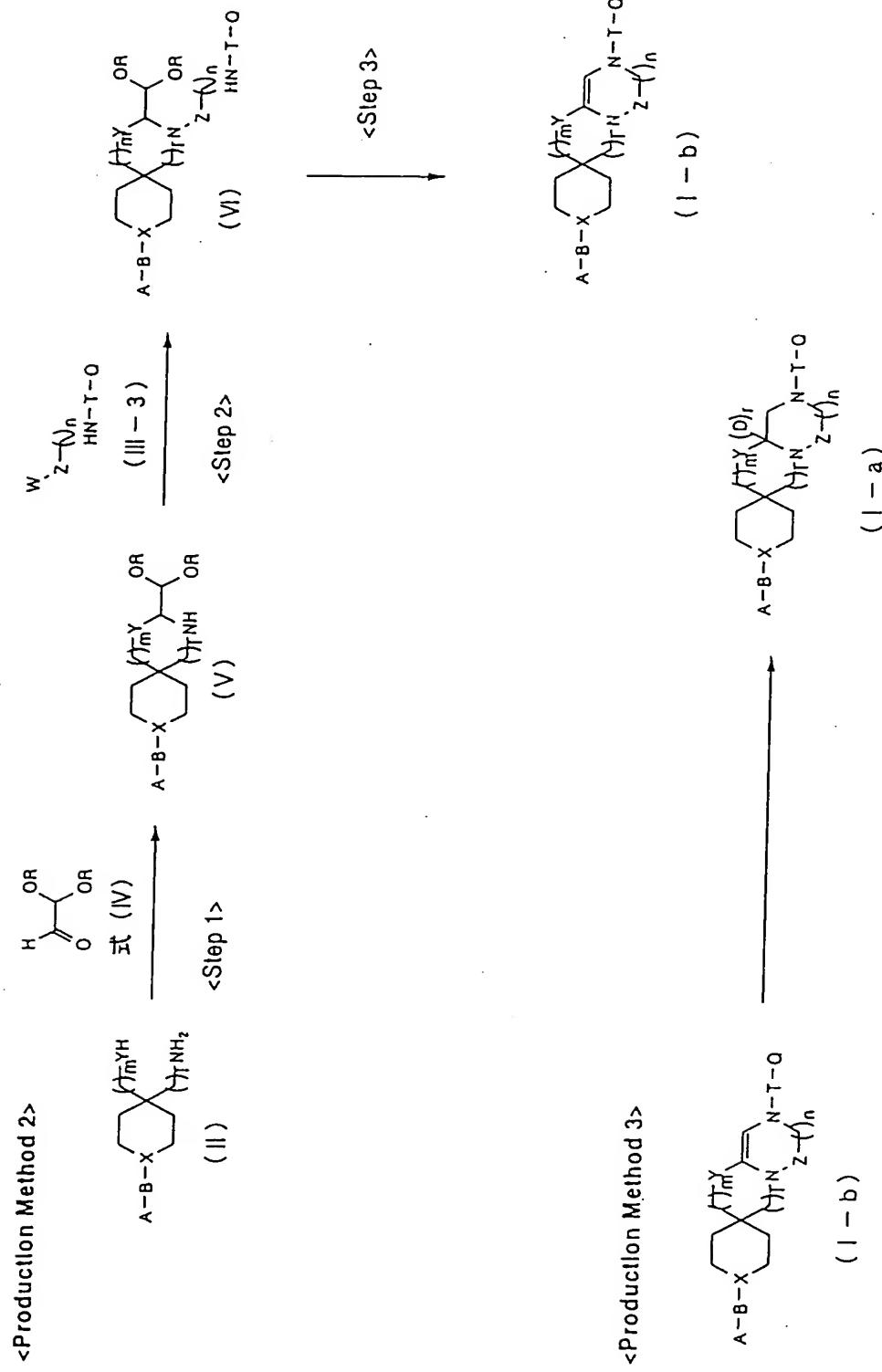
FIG. 16



APPROVED BY DRAFTSMAN	O.G. FIG.
	CLASS SUBCLASS 54 250

FIGURE 221 200 200 200 200

FIG. 17

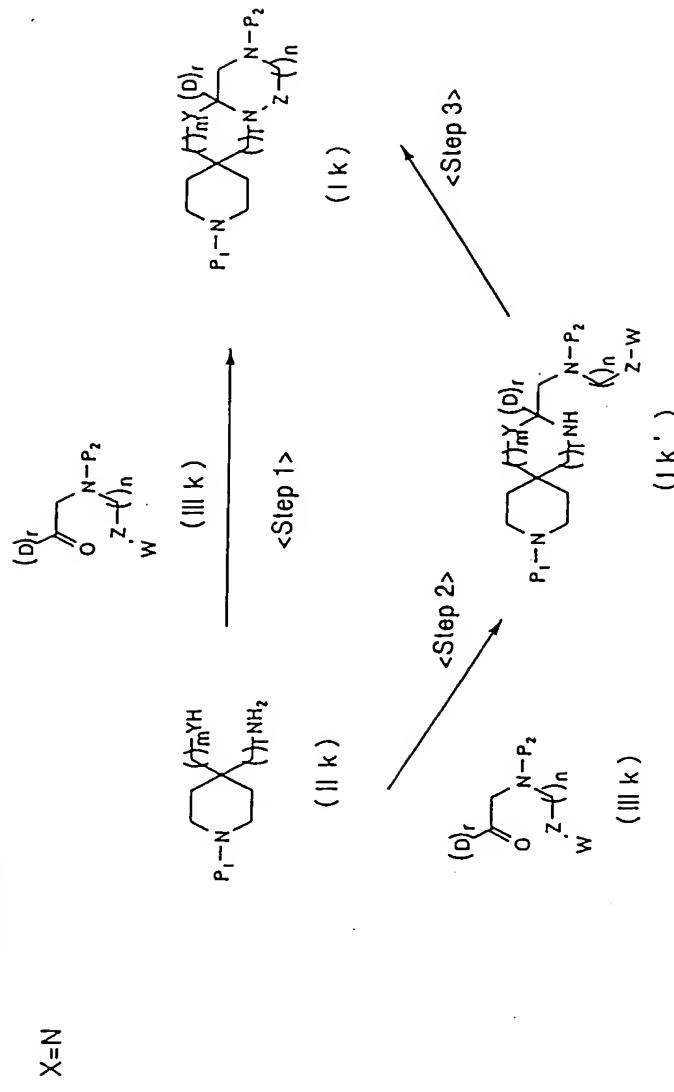


APPROVED BY DRAFTSMAN	O.G. FIG. CLASS SUBCLASS 54 250
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100 150 200 250 300

FIG. 18

## <Production Method 4>



APPROVED BY DRAFTSMAN	O.G. FIG. CLASS 514	SUBCLASS 250
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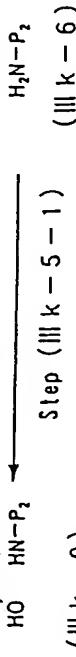
<Production Method 4>

Alternate Production Method of (IIIk)

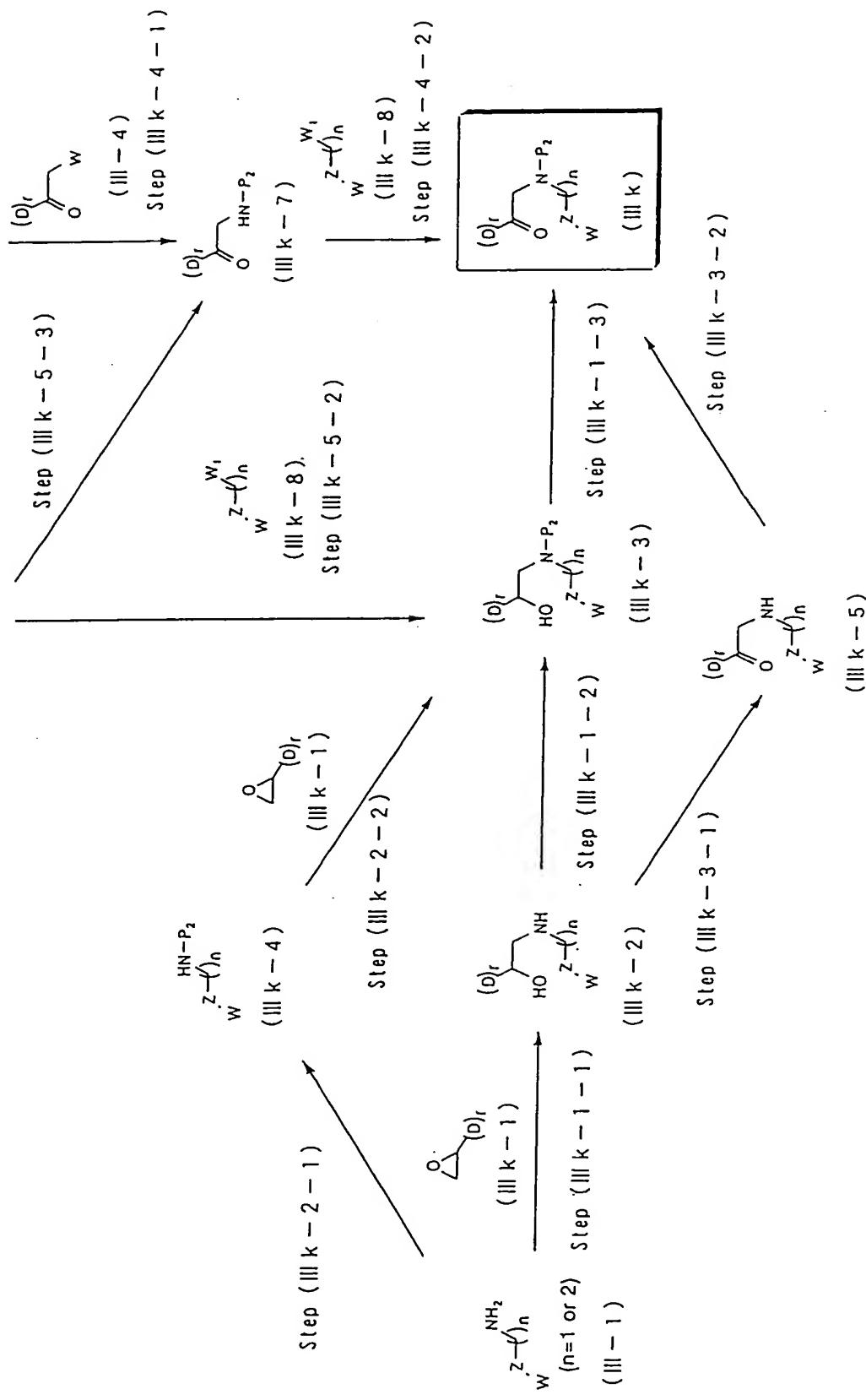
FIG. 19



(III k - 1)



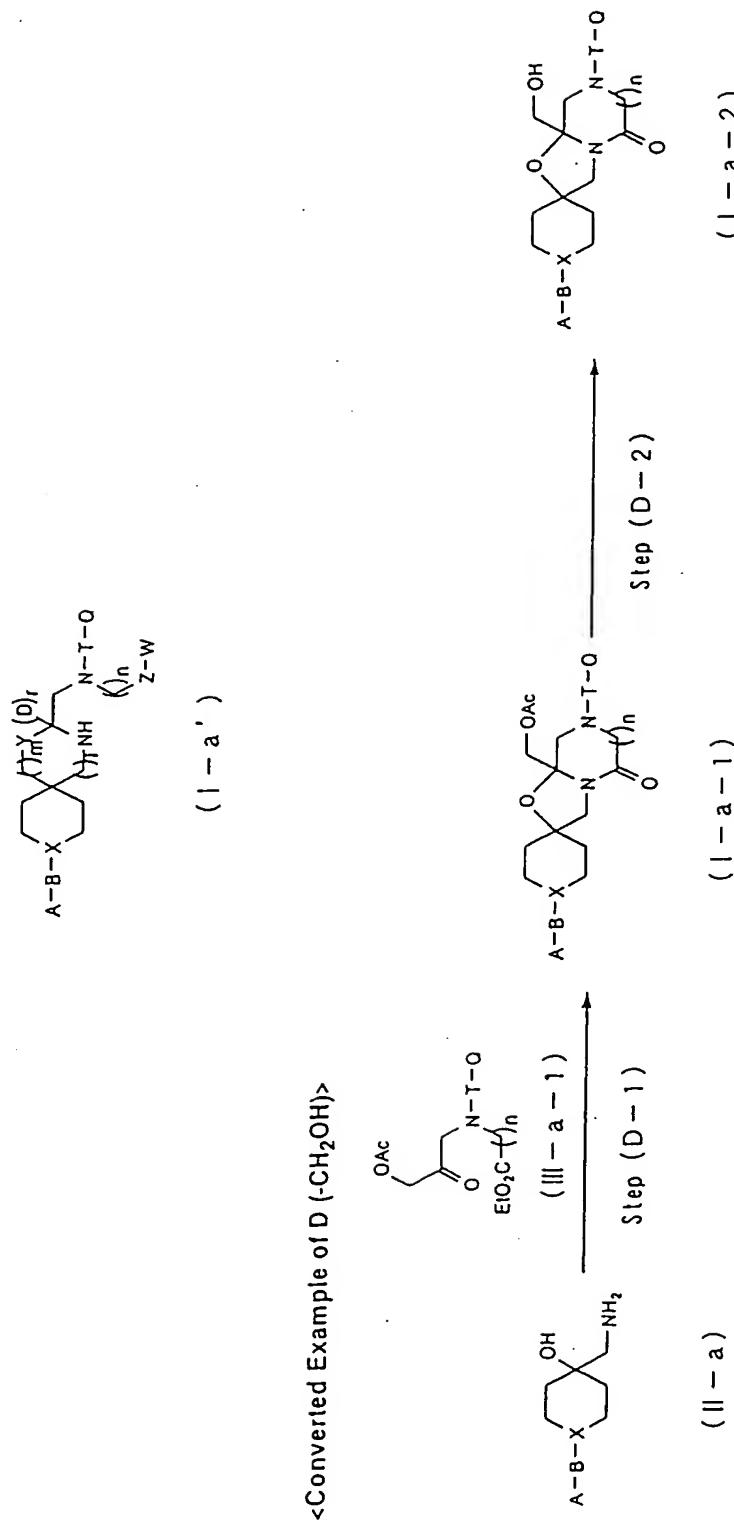
(III k - 9)  $\xrightarrow{\text{Step (III k - 5 - 1)}}$  (III k - 1)



APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	250

FIGURE 20

FIG. 20



APPROVED BY	O.G. FIG.	
DRAFTSMAN	CLASS	SUBCLASS
	5W	250

## FIG. 21

Ex. No.	N M R (270MHz) (ppm) (* : 300MHz)
1	$\text{CDCl}_3$ *: 8.38-8.33 (1H, m), 8.27-8.20 (2H, m), 7.99-7.92 (3H, m), 7.79 (1H, dd, $J=2$ , 9Hz), 7.65-7.59 (1H, m), 6.66-6.58 (2H, m), 4.42-4.32 (2H, m), 4.25-4.17 (1H, m), 3.71-3.58 (2H, m), 3.53-3.17 (5H, m), 3.43 (3H, s), 3.35 (1H, d, $J=17$ Hz), 2.30 (1H, d, $J=12$ Hz), 2.03-1.80 (2H, m), 1.57-1.45 (2H, m)
2	$\text{CDCl}_3$ : 8.56 (1H, s), 8.38-8.33 (1H, m), 8.18 (1H, d, $J=6$ Hz), 7.99-7.92 (3H, m), 7.82-7.76 (1H, m), 7.65-7.58 (1H, m), 6.50-6.45 (1H, m), 4.42-4.30 (2H, m), 4.20 (1H, d, $J=12$ Hz), 3.94-3.37 (4H, m), 3.68 (1H, d, $J=10$ Hz), 3.63 (1H, d, $J=10$ Hz), 3.43 (3H, s), 3.36 (1H, d, $J=17$ Hz), 3.22 (1H, d, $J=12$ Hz), 2.31 (1H, d, $J=12$ Hz), 2.02-1.72 (2H, m), 1.53-1.43 (2H, m)
3	$\text{CDCl}_3$ : 8.38-8.34 (1H, m), 8.28-8.19 (2H, m), 7.98-7.92 (3H, m), 7.82-7.76 (1H, m), 7.62 (1H, dd, $J=2$ , 9Hz), 6.66-6.58 (2H, m), 4.48-4.22 (3H, m), 3.98-3.88 (1H, m), 3.80-3.69 (1H, m), 3.54-3.15 (5H, m), 3.40 (1H, d, $J=17$ Hz), 2.33 (1H, d, $J=12$ Hz), 2.22-1.82 (2H, m), 1.58-1.48 (2H, m)
4	$\text{CDCl}_3$ *: 8.37-8.32 (1H, m), 8.28-8.21 (2H, m), 7.99-7.91 (3H, m), 7.78 (1H, dd, $J=2$ , 9Hz), 7.62 (1H, dd, $J=2$ , 9Hz), 6.65-6.58 (2H, m), 4.42-4.10 (7H, m), 3.92 (1H, d, $J=10$ Hz), 3.80 (1H, d, $J=10$ Hz), 3.57-3.16 (6H, m), 2.32 (1H, d, $J=12$ Hz), 2.17-2.06 (1H, m), 1.96-1.83 (1H, m), 1.56-1.47 (2H, m), 1.35-1.20 (3H, m)
5	$\text{CD}_3\text{OD}$ : 8.53-8.47 (1H, m), 8.18-8.03 (5H, m), 7.92-7.83 (1H, m), 7.68-7.62 (1H, m), 7.18-7.09 (2H, m), 4.34 (1H, d, $J=12$ Hz), 4.35-4.20 (1H, m), 4.20-3.26 (11H, m), 2.65 (1H, d, $J=12$ Hz), 2.22-2.10 (1H, m), 2.02-1.88 (1H, m), 1.73-1.55 (2H, m)
6	$\text{CDCl}_3$ *: 8.40-8.37 (1H, m), 8.28-8.20 (2H, m), 8.07-7.93 (3H, m), 7.80-7.64 (3H, m), 6.66-6.58 (2H, m), 4.42-4.30 (2H, m), 4.20 (1H, d, $J=12$ Hz), 3.72-3.61 (2H, m), 3.52-3.18 (4H, m), 3.44 (3H, s), 3.35 (1H, d, $J=17$ Hz), 3.21 (1H, d, $J=12$ Hz), 2.28 (1H, d, $J=12$ Hz), 2.04-1.79 (2H, m), 1.57-1.45 (2H, m)
7	$\text{CDCl}_3$ *: 8.40-8.36 (1H, m), 8.29-8.20 (2H, m), 8.00-7.94 (3H, m), 7.80 (1H, dd, $J=2$ , 9Hz), 7.63 (1H, dd, $J=2$ , 9Hz), 6.66-6.59 (2H, m), 4.54-4.15 (5H, m), 3.60-3.14 (6H, m), 2.36 (1H, d, $J=12$ Hz), 2.13 (3H, s), 1.99-1.73 (2H, m), 1.62-1.46 (2H, m)

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APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	250

FIG. 22

Ex. No.	N M R (270MHz) (ppm) (* : 300MHz)
8	CDCl <sub>3</sub> *: 8.37-8.34 (1H, m), 8.26-8.19 (2H, m), 7.99-7.91 (3H, m), 7.79 (1H, dd, J=2, 9Hz), 7.65-7.59 (1H, m), 6.62-6.55 (2H, m), 4.72 (1H, dd, J=2, 14Hz), 4.35-4.25 (2H, m), 4.07 (1H, d, J=11Hz), 3.74 (1H, d, J=12Hz), 3.63 (1H, d, J=11Hz), 3.49 (3H, s), 3.34 (1H, d, J=17Hz), 3.63-3.28 (3H, m), 3.26-3.11 (2H, m), 2.68 (1H, d, J=14Hz), 2.42 (1H, d, J=12Hz), 1.68-1.40 (4H, m)
9	CDCl <sub>3</sub> : 8.45-8.13 (3H, m), 8.00-7.90 (3H, m), 7.79 (1H, dd, J=2, 8Hz), 7.62 (1H, dd, J=2, 9Hz), 6.82-6.58 (2H, m), 5.26-5.18 (1H, m), 4.45-4.26 (2H, m), 3.77 (1H, d, J=12Hz), 3.70-3.51 (2H, m), 3.47-3.23 (2H, m), 3.32 (1H, d, J=17Hz), 3.19 (1H, d, J=12Hz), 2.52-2.39 (1H, m), 2.06-1.88 (1H, m), 1.85-1.59 (3H, m)
10	CDCl <sub>3</sub> *: 8.59 (1H, s), 8.40-8.35 (1H, m), 8.20 (1H, d, J=6Hz), 8.04-7.87 (3H, m), 7.80 (1H, dd, J=2, 9Hz), 7.61 (1H, dd, J=2, 9Hz), 6.52 (1H, d, J=6Hz), 5.27-5.18 (1H, m), 4.45-4.28 (2H, m), 4.12-3.94 (2H, m), 3.81-3.71 (1H, m), 3.55-3.32 (2H, m), 3.32 (1H, d, J=17Hz), 3.23-3.15 (1H, m), 2.46 (1H, dd, J=9, 12Hz), 2.01-1.88 (1H, m), 1.79-1.60 (3H, m)
11	CDCl <sub>3</sub> *: 8.31-8.25 (2H, m), 7.50 (1H, d, J=15Hz), 7.49-7.38 (4H, m), 6.72-6.60 (3H, m), 5.23-5.17 (1H, m), 4.33-4.22 (2H, m), 3.87-3.80 (1H, m), 3.65-3.51 (2H, m), 3.59 (1H, d, J=17Hz), 3.44-3.27 (2H, m), 3.25-3.19 (1H, m), 2.78-2.69 (1H, m), 2.02-1.92 (1H, m), 1.88-1.69 (3H, m)
12	CDCl <sub>3</sub> : 14.2 (1H, brs), 8.40-8.33 (1H, m), 8.28-8.15 (2H, m), 8.02-7.92 (3H, m), 7.83-7.75 (1H, m), 7.67-7.58 (1H, m), 6.94-6.82 (2H, m), 4.45-4.26 (2H, m), 4.26-4.13 (1H, m), 3.96-3.23 (8H, m), 3.43 (3H, s), 2.86 (3H, s), 2.34 (1H, d, J=12Hz), 2.18-2.04 (1H, m), 1.96-1.79 (1H, m), 1.68-1.54 (2H, m)
13	CDCl <sub>3</sub> : 14.21 (1H, brs), 8.40-8.33 (1H, m), 8.28-8.15 (2H, m), 8.02-7.92 (3H, m), 7.83-7.75 (1H, m), 7.67-7.58 (1H, m), 6.94-6.82 (2H, m), 4.45-4.26 (2H, m), 4.26-4.13 (1H, m), 3.96-3.23 (8H, m), 3.43 (3H, s), 2.86 (3H, s), 2.34 (1H, d, J=12Hz), 2.18-2.04 (1H, m), 1.96-1.79 (1H, m), 1.68-1.54 (2H, m)
14	CD <sub>3</sub> OD: 8.53-8.48 (1H, m), 8.16-8.03 (5H, m), 7.91-7.85 (1H, m), 7.66 (1H, dd, J=2, 9Hz), 7.18-7.08 (2H, m), 4.32-4.12 (3H, m), 4.08-3.96 (1H, m), 3.94-3.60 (4H, m), 3.58-3.42 (1H, m), 3.50 (1H, d, J=17Hz), 3.38-3.27 (1H, m), 2.69 (3H, s), 2.62 (1H, d, J=12Hz), 2.13-1.85 (2H, m), 1.72-1.53 (2H, m)

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APPROVED BY DRAFTSMAN	O.G. FIG. CLASS 514 SUBCLASS 250
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### FIG. 23

Ex. No.	N M R (270MHz) (* : 300MHz) (ppm)
15	DMSO-d <sub>6</sub> : 13.32-13.10 (1H, br), 8.61 (1H, s), 8.34-8.12 (5H, m), 7.95-7.86 (1H, m), 7.79-7.70 (1H, m), 7.24-7.14 (2H, m), 4.22-4.03 (6H, m), 3.94-2.90 (9H, m), 2.71 (1H, d, J=11Hz), 2.30 (3H, s), 1.97-1.81 (2H, m), 1.64-1.49 (2H, m), 1.26-1.16 (3H, m)
17	CDCl <sub>3</sub> : 8.40-8.35 (1H, m), 8.30-8.21 (2H, m), 8.00-7.92 (3H, m), 7.82-7.76 (1H, m), 7.65-7.59 (1H, m), 6.93-6.85 (2H, m), 5.28-5.20 (1H, m), 4.44-4.32 (1H, m), 4.33 (1H, d, J=17Hz), 4.00-3.80 (3H, m), 3.65-3.40 (2H, m), 3.34 (1H, d, J=17Hz), 3.21 (1H, d, J=12Hz), 2.85 (3H, s), 2.58-2.47 (1H, m), 2.20-1.70 (4H, m)
20	CDCl <sub>3</sub> *: 8.37-8.33 (1H, m), 8.28-8.20 (2H, m), 7.98-7.92 (3H, m), 7.81-7.75 (1H, m), 7.65-7.59 (1H, m), 6.65-6.59 (2H, m), 4.40-4.28 (2H, m), 4.17 (1H, d, J=11Hz), 3.83-3.73 (2H, m), 3.72-3.66 (2H, m), 3.59-3.53 (2H, m), 3.38 (3H, s), 3.51-3.22 (6H, m), 2.31 (1H, d, J=12Hz), 2.09-1.98 (1H, m), 1.93-1.80 (1H, m), 1.54-1.46 (2H, m)
21	DMSO-d <sub>6</sub> *: 13.34-13.12 (1H, br), 8.62 (1H, s), 8.34-8.25 (2H, m), 8.24-8.13 (3H, m), 7.96-7.87 (1H, m), 7.79-7.72 (1H, m), 7.24-7.16 (2H, m), 4.18-4.02 (3H, m), 3.94-3.80 (1H, m), 3.80-3.68 (1H, m), 3.26 (3H, s), 3.68-3.15 (10H, m), 2.70 (1H, d, J=11Hz), 2.30 (3H, s), 1.94-1.81 (2H, m), 1.64-1.51 (2H, m)
22	CDCl <sub>3</sub> *: 8.37-8.34 (1H, m), 8.27-8.21 (2H, m), 7.99-7.92 (3H, m), 7.81-7.76 (1H, m), 7.65-7.60 (1H, m), 6.64-6.58 (2H, m), 4.55-4.48 (1H, m), 4.39 (1H, d, J=17Hz), 4.25 (1H, d, J=12Hz), 3.88 (1H, d, J=10Hz), 3.85-3.72 (3H, m), 3.72-3.60 (2H, m), 3.50-3.42 (2H, m), 3.34 (1H, d, J=17Hz), 3.42-3.23 (2H, m), 3.18 (1H, d, J=12Hz), 2.27 (1H, d, J=12Hz), 2.02-1.80 (2H, m), 1.56-1.46 (2H, m)
23	CDCl <sub>3</sub> *: 8.37-8.33 (1H, m), 8.22-8.14 (2H, m), 7.99-7.92 (3H, m), 7.80-7.71 (3H, m), 7.60 (1H, dd, J=2, 9Hz), 7.15-7.07 (4H, m), 4.38-4.26 (2H, m), 4.13 (1H, d, J=12Hz), 3.96 (3H, s), 3.95-3.80 (2H, m), 3.65 (2H, s), 3.41 (3H, s), 3.63-3.35 (2H, m), 3.35 (1H, d, J=17Hz), 3.23 (1H, d, J=12), 2.35 (1H, d, J=12Hz), 2.31 (3H, s), 2.09-1.99 (1H, m), 1.90-1.76 (1H, m), 1.60-1.50 (2H, m)
24	CDCl <sub>3</sub> *: 8.36-8.31 (1H, m), 8.26-8.18 (2H, m), 7.98-7.90 (3H, m), 7.81-7.75 (1H, m), 7.63-7.56 (1H, m), 6.66-6.59 (2H, m), 3.81-3.72 (1H, m), 3.63 (1H, d, J=11Hz), 3.40 (3H, s), 3.50-3.22 (7H, m), 3.10-3.01 (1H, m), 2.97-2.84 (2H, m), 2.77-2.66 (1H, m), 2.25 (1H, d, J=12Hz), 2.01-1.90 (1H, m), 1.76-1.59 (3H, m)

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APPROVED BY DRAFTSMAN	O.G. FIG. CLASS 514 SUBCLASS 25
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FIG. 24

Ex. No.	N M R (270MHz) (* : 300MHz) (ppm)
25	CDCl <sub>3</sub> : 8.35 (1H, s), 8.30-8.20 (2H, m), 8.00-7.86 (3H, m), 7.82-7.71 (1H, m), 7.66-7.56 (1H, m), 6.66-6.57 (2H, m), 4.76 (1H, d, J=12Hz), 4.38-4.21 (3H, m), 4.11 (1H, d, J=12Hz), 3.54-3.23 (6H, m), 2.49 (1H, d, J=12Hz), 1.89-1.73 (2H, m), 1.73-1.52 (2H, m), 1.41-1.29 (3H, m)
26	CDCl <sub>3</sub> : 14.43 (1H, brs), 8.36 (1H, s), 8.28-8.16 (2H, m), 8.02-7.86 (3H, m), 7.82-7.73 (1H, m), 7.66-7.57 (1H, m), 6.97-6.88 (2H, m), 4.82-4.70 (1H, m), 4.40-4.21 (3H, m), 4.14 (1H, d, J=12Hz), 3.95-3.80 (2H, m), 3.66-3.29 (4H, m), 2.82 (3H, s), 2.58-2.48 (1H, m), 1.98-1.77 (2H, m), 1.77-1.63 (2H, m), 1.44-1.30 (3H, m)
27	DMSO-d <sub>6</sub> *: 8.60 (1H, s), 8.30 (1H, d, J=9Hz), 8.27-8.21 (1H, m), 8.21-8.08 (3H, m), 7.94-7.84 (1H, m), 7.77-7.69 (1H, m), 6.99 (2H, d, J=7Hz), 4.48 (1H, d, J=11Hz), 3.98-3.82 (2H, m), 3.76-3.54 (2H, m), 3.54-3.17 (2H, m), 3.39 (1H, d, J=16Hz), 3.23 (1H, d, J=12Hz), 2.71 (1H, d, J=11Hz), 1.79-1.64 (2H, m), 1.64-1.47 (2H, m)
28	CDCl <sub>3</sub> *: 8.42-8.32 (1H, m), 8.31-8.18 (2H, m), 8.02-7.88 (3H, m), 7.83-7.73 (1H, m), 7.67-7.57 (1H, m), 6.70-6.58 (2H, m), 4.80-4.68 (1H, m), 4.33 (1H, d, J=17Hz), 4.13 (1H, d, J=12Hz), 3.82 (3H, s), 3.56-3.25 (6H, m), 2.57-2.47 (1H, m), 2.04-1.54 (4H, m)
29	CDCl <sub>3</sub> *: 8.39-8.31 (1H, m), 8.31-8.18 (2H, m), 8.00-7.88 (3H, m), 7.86-7.75 (1H, m), 7.65-7.58 (1H, m), 6.64 (2H, d, J=7Hz), 5.22-5.07 (1H, m), 4.80-4.72 (1H, m), 4.36-4.25 (1H, m), 4.07 (1H, d, J=11Hz), 3.57-3.25 (6H, m), 2.46 (1H, d, J=11Hz), 1.88-1.72 (2H, m), 1.72-1.50 (2H, m), 1.39 (3H, d, J=6Hz), 1.34 (3H, d, J=6Hz)
30	CDCl <sub>3</sub> *: 8.39-8.32 (1H, m), 8.31-8.18 (2H, m), 8.00-7.88 (3H, m), 7.82-7.74 (1H, m), 7.66-7.57 (1H, m), 6.70-6.55 (2H, m), 4.83-4.70 (1H, m), 4.32 (1H, d, J=17Hz), 4.25-4.05 (3H, m), 3.58-3.23 (6H, m), 2.55-2.44 (1H, m), 2.00-1.50 (6H, m), 1.05-0.93 (3H, m)
31	CDCl <sub>3</sub> *: 8.36 (1H, s), 8.32-8.17 (2H, m), 8.04-7.85 (3H, m), 7.83-7.72 (1H, m), 7.68-7.56 (1H, m), 6.70-6.55 (2H, m), 6.05-5.85 (1H, m), 5.48-5.26 (2H, m), 4.85-4.60 (3H, m), 4.33 (1H, d, J=17Hz), 4.12 (1H, d, J=12Hz), 3.57-3.20 (6H, m), 2.51 (1H, d, J=12Hz), 1.90-1.72 (2H, m), 1.72-1.50 (2H, m)

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APPROVED BY DRAFTSMAN	O.G. FIG.	
	CLASS 514	SUBCLASS 24

FIG. 25

Ex. No.	N.M.R. (270MHz) (ppm) (* : 300MHz)
32	CDCl <sub>3</sub> *: 8.36 (1H, s), 8.31-8.18 (2H, m), 8.03-7.87 (3H, m), 7.83-7.73 (1H, m), 7.67-7.56 (1H, m), 6.72-6.56 (2H, m), 4.78 (1H, d, J=12Hz), 4.45-4.25 (3H, m), 4.10 (1H, d, J=12Hz), 3.75-3.58 (2H, m), 3.40 (3H, m), 3.57-3.23 (6H, m), 2.51 (1H, d, J=12Hz), 1.93-1.53 (4H, m)
33	CDCl <sub>3</sub> *: 8.38-8.32 (1H, m), 8.32-8.20 (2H, m), 8.01-7.91 (3H, m), 7.82-7.75 (1H, m), 7.66-7.58 (1H, m), 6.68-6.60 (2H, m), 4.79-4.70 (1H, m), 4.32 (1H, d, J=17Hz), 4.04 (1H, d, J=12Hz), 3.56-3.22 (5H, m), 3.28 (1H, d, J=17Hz), 2.42 (1H, d, J=2, 11Hz), 1.92-1.76 (2H, m), 1.70-1.48 (2H, m), 1.58 (9H, s)
34	CDCl <sub>3</sub> *: 8.38-8.31 (1H, m), 8.31-8.16 (2H, m), 8.00-7.90 (3H, m), 7.82-7.73 (1H, m), 7.65-7.58 (1H, m), 6.92-6.82 (1H, m), 6.70-6.60 (2H, m), 4.80-4.71 (1H, m), 4.39-4.18 (3H, m), 4.14-4.00 (1H, m), 3.64-3.20 (6H, m), 2.54-2.43 (1H, m), 2.40-1.55 (7H, m), 1.40-1.29 (3H, m)
35 (+)	CDCl <sub>3</sub> *: 8.38-8.34 (1H, m), 8.30-8.22 (2H, m), 7.99-7.92 (3H, m), 7.78 (1H, dd, J=2, 9Hz), 7.62 (1H, dd, J=2, 9Hz), 6.66-6.60 (2H, m), 4.81-4.73 (1H, m), 4.38-4.24 (3H, m), 4.11 (1H, d, J=12Hz), 3.54-3.24 (6H, m), 2.49 (1H, d, J=12Hz), 1.84-1.77 (2H, m), 1.67-1.58 (2H, m), 1.36 (3H, t, J=7Hz)
35 (-)	CDCl <sub>3</sub> *: 8.38-8.34 (1H, m), 8.29-8.23 (2H, m), 7.99-7.92 (3H, m), 7.78 (1H, dd, J=2, 9Hz), 7.62 (1H, dd, J=2, 9Hz), 6.66-6.60 (2H, m), 4.81-4.73 (1H, m), 4.38-4.24 (3H, m), 4.11 (1H, d, J=12Hz), 3.54-3.25 (6H, m), 2.49 (1H, d, J=12Hz), 1.84-1.76 (2H, m), 1.68-1.58 (2H, m), 1.36 (3H, t, J=7Hz)
36	DMSO-d <sub>6</sub> *: 13.24 (1H, s), 8.64 (1H, s), 8.35-8.20 (5H, m), 7.95-7.85 (1H, m), 7.76 (1H, dd, J=2, 9Hz), 7.35-7.20 (2H, m), 4.50-4.35 (1H, m), 4.25-4.15 (2H, m), 4.14-4.00 (2H, m), 3.90-3.75 (2H, m), 3.65-3.20 (4H, m), 3.00-2.85 (1H, m), 2.29 (3H, s), 1.90-1.60 (4H, m), 1.25 (3H, t, J=7Hz)
37	DMSO-d <sub>6</sub> *: 8.61 (1H, s), 8.30 (1H, d, J=9Hz), 8.27-8.22 (1H, m), 8.22-8.08 (3H, m), 7.93-7.86 (1H, m), 7.77-7.69 (1H, m), 6.98 (2H, d, J=7Hz), 4.54-4.41 (1H, m), 4.00-3.80 (2H, m), 3.80-3.16 (4H, m), 3.39 (1H, d, J=16Hz), 3.23 (1H, d, J=12Hz), 2.75-2.65 (1H, m), 1.80-1.65 (2H, m), 1.65-1.45 (2H, m)

TOP SECRET - 122201

APPROVED BY DRAFTSMAN	O.G. FIG.
	CLASS SUBCLASS 514 250

## FIG. 26

Ex. No.	N M R (270MHz) (ppm) (* : 300MHz)
38	CDCl <sub>3</sub> *: 8.39-8.33 (1H, m), 8.30-8.22 (2H, m), 8.00-7.91 (3H, m), 7.81-7.74 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.67-6.59 (2H, m), 4.80-4.70 (1H, m), 4.33 (1H, d, J=17Hz), 4.13 (1H, d, J=11Hz), 3.82 (3H, s), 3.54-3.24 (6H, m), 2.56-2.47 (1H, m), 1.90-1.55 (4H, m)
39	CDCl <sub>3</sub> *: 8.36-8.33 (1H, m), 8.30-8.21 (2H, m), 8.00-7.90 (3H, m), 7.82-7.70 (1H, m), 7.65-7.58 (1H, m), 6.68-6.58 (2H, m), 5.22-5.08 (1H, m), 4.82-4.71 (1H, m), 4.32 (1H, d, J=17Hz), 4.07 (1H, d, J=12Hz), 3.58-3.23 (5H, m), 3.39 (1H, d, J=12Hz), 2.46 (1H, d, J=11Hz), 1.88-1.72 (2H, m), 1.72-1.53 (2H, m), 1.39 (3H, d, J=6Hz), 1.34 (3H, d, J=6Hz)
40	CDCl <sub>3</sub> *: 8.39-8.32 (1H, m), 8.30-8.20 (2H, m), 8.02-7.88 (3H, m), 7.83-7.73 (1H, m), 7.66-7.57 (1H, m), 6.69-6.57 (2H, m), 4.82-4.73 (1H, m), 4.32 (1H, d, J=17Hz), 4.24-4.05 (3H, m), 3.58-3.23 (6H, m), 2.55-2.44 (1H, m), 1.87-1.54 (6H, m), 1.06-0.94 (3H, m)
41	CDCl <sub>3</sub> *: 8.33-8.39 (1H, m), 8.30-8.20 (2H, m), 7.99-7.91 (3H, m), 7.82-7.73 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.67-6.58 (2H, m), 6.04-5.88 (1H, m), 5.46-5.30 (2H, m), 4.83-4.63 (3H, m), 4.33 (1H, d, J=17Hz), 4.12 (1H, d, J=12Hz), 3.55-3.22 (6H, m), 2.51 (1H, d, J=12Hz), 1.85-1.75 (2H, m), 1.70-1.57 (2H, m)
42	CDCl <sub>3</sub> *: 8.38-8.33 (1H, m), 8.30-8.20 (2H, m), 8.00-7.90 (3H, m), 7.83-7.74 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.67-6.58 (2H, m), 4.83-4.73 (1H, m), 4.42-4.27 (3H, m), 4.09 (1H, d, J=12Hz), 3.75-3.58 (2H, m), 3.40 (3H, s), 3.55-3.22 (6H, m), 2.55-2.46 (1H, m), 1.92-1.53 (4H, m)
43	CDCl <sub>3</sub> *: 8.40-8.32 (1H, m), 8.32-8.19 (2H, m), 8.02-7.89 (3H, m), 7.84-7.75 (1H, m), 7.68-7.57 (1H, m), 6.70-6.59 (2H, m), 4.81-4.69 (1H, m), 4.31 (1H, d, J=17Hz), 4.04 (1H, d, J=12Hz), 3.57-3.20 (5H, m), 3.28 (1H, d, J=17Hz), 2.48-2.37 (1H, m), 1.92-1.72 (2H, m), 1.72-1.45 (2H, m), 1.58 (9H, m)
44	CDCl <sub>3</sub> *: 14.36 (1H, brs), 8.38-8.34 (1H, m), 8.25-8.17 (2H, m), 8.00-7.93 (3H, m), 7.77 (1H, dd, J=2, 9Hz), 7.62 (1H, dd, J=2, 9Hz), 7.00-6.91 (2H, m), 4.74 (1H, d, J=12Hz), 4.32 (1H, d, J=17Hz), 4.15 (1H, d, J=12Hz), 3.95-3.80 (2H, m), 3.83 (3H, s), 3.63-3.35 (4H, m), 2.83 (3H, s), 2.57 (1H, d, J=12Hz), 1.95-1.78 (2H, m), 1.76-1.66 (2H, m)

1002606-22/01

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	51K	25

FIG. 27

Ex. No.	N M R (270MHz) (ppm) (* : 300MHz)
49	CDCl <sub>3</sub> *: 14.22 (1H, brs), 8.38-8.32 (1H, m), 8.27-8.17 (2H, m), 8.00-7.90 (3H, m), 7.82-7.74 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.99-6.89 (2H, m), 4.78-4.69 (1H, m), 4.36-4.25 (1H, m), 4.06 (1H, d, J=12Hz) 3.96-3.80 (2H, m), 3.66-3.38 (3H, m), 3.34-3.23 (1H, m), 2.83 (3H, s), 2.51-2.42 (1H, m), 1.99-1.78 (2H, m), 1.75-1.65 (2H, m), 1.58 (9H, s)
50	CD <sub>3</sub> OD*: 8.47 (1H, s), 8.12 (1H, d, J=9Hz), 8.12-7.99 (4H, m), 7.92-7.83 (1H, m), 7.63 (1H, dd, J=2, 9Hz), 6.82-6.74 (2H, m), 4.65-4.55 (1H, m), 4.14 (1H, d, J=16Hz), 3.89 (1H, d, J=12Hz), 3.68-3.25 (6H, m), 2.72-2.64 (1H, m), 2.02-1.87 (1H, m), 1.82-1.68 (1H, m), 1.68-1.52 (2H, m)
51 (+)	CD <sub>3</sub> OD*: 8.49 (1H, s), 8.23-8.01 (5H, m), 7.88-7.86 (1H, m), 7.70-7.61 (1H, m), 6.89-6.71 (2H, m), 4.65-4.54 (1H, m), 4.20-4.08 (1H, m), 3.89 (1H, d, J=12Hz), 3.69-3.18 (6H, m), 2.78-2.64 (1H, m), 2.00-1.52 (4H, m)
51 (-)	CD <sub>3</sub> OD*: 8.48 (1H, s), 8.12 (1H, d, J=9Hz), 8.16-8.00 (4H, m), 7.94-7.83 (1H, m), 7.67-7.60 (1H, m), 6.86-6.75 (2H, m), 4.63-4.53 (1H, m), 4.12 (1H, d, J=17Hz), 3.89 (1H, d, J=11Hz), 3.69-3.21 (6H, m), 2.74-2.65 (1H, m), 1.97-1.86 (1H, m), 1.81-1.52 (3H, m)
52	CDCl <sub>3</sub> *: 8.33 (1H, s), 8.24-8.13 (2H, m), 7.99-7.89 (3H, m), 7.80-7.69 (3H, m), 7.59 (1H, dd, J=2, 9Hz), 7.22-7.13 (2H, m), 7.12-7.06 (2H, m), 4.79-4.68 (1H, m), 4.36-4.21 (3H, m), 4.19-4.02 (3H, m), 3.98-3.84 (2H, m), 3.56-3.28 (4H, m), 2.59-2.50 (1H, m), 2.30 (3H, s), 1.87-1.72 (2H, m), 1.70-1.55 (2H, m), 1.46-1.30 (6H, m)
53	CD <sub>3</sub> OD: 8.52-8.48 (1H, m), 8.16-8.04 (3H, m), 7.88 (1H, dd, J=2, 9Hz), 7.69-7.60 (3H, m), 7.04-6.95 (2H, m), 4.35-4.10 (3H, m), 3.39 (3H, s), 3.68-3.22 (8H, m), 2.58 (1H, d, J=12Hz), 1.98-1.86 (2H, m), 1.62-1.51 (2H, m)
54	CDCl <sub>3</sub> *: 8.54-8.49 (2H, m), 8.36-8.33 (1H, m), 7.97-7.91 (3H, m), 7.80-7.75 (1H, m), 7.61 (1H, dd, J=2, 9Hz), 7.24-7.19 (2H, m), 4.40-4.30 (2H, m), 4.19 (1H, d, J=12Hz), 3.46 (2H, s), 3.41 (3H, s), 3.68-3.52 (2H, m), 3.32 (1H, d, J=17Hz), 3.12 (1H, d, J=12Hz), 2.66-2.54 (1H, m), 2.52-2.20 (3H, m), 2.25 (1H, d, J=12Hz), 2.00-1.77 (2H, m), 1.54-1.36 (2H, m)

DRAFTSMAN'S SIGNATURE

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	25

FIG. 28

Ex. No.	N M R (270MHz) (* : 300MHz) (ppm)
55	CDCl <sub>3</sub> *: 8.38-8.34 (1H, m), 8.20-7.92 (5H, m), 7.78 (1H, dd, J=2, 9Hz), 7.62 (1H, dd, J=2, 9Hz), 6.65-6.58 (2H, m), 4.42-4.30 (2H, m), 4.21 (1H, d, J=12Hz), 3.67 (1H, d, J=10Hz), 3.63 (1H, d, J=10Hz), 3.43 (3H, s), 3.50-3.18 (6H, m), 2.30 (1H, d, J=12Hz), 2.06-1.80 (2H, m), 1.59-1.50 (2H, m)
56	CDCl <sub>3</sub> *: 8.37-8.33 (1H, m), 7.98-7.91 (3H, m), 7.81-7.75 (1H, m), 7.61 (1H, dd, J=2, 9Hz), 4.40-4.30 (2H, m), 4.19 (1H, d, J=12Hz), 3.69-3.56 (2H, m), 3.42 (3H, s), 3.54-3.26 (4H, m), 3.34 (1H, d, J=17Hz), 3.18 (1H, d, J=12Hz), 2.28 (1H, d, J=12Hz), 2.06 (3H, s), 1.94-1.72 (2H, m), 1.46-1.38 (2H, m)
57	DMSO-d <sub>6</sub> (100°C) *: 9.04-8.70 (1H, m), 8.56-8.51 (1H, m), 8.50-8.30 (1H, m), 8.23 (1H, d, J=9Hz), 8.19-8.10 (2H, m), 7.86 (1H, dd, J=2, 9Hz), 7.71-7.65 (1H, m), 4.16-4.03 (3H, m), 3.79-2.44 (9H, m), 3.28 (3H, s), 2.32 (3H, s), 2.28-2.21 (3H, m), 1.99-1.84 (2H, m), 1.68-1.58 (2H, m)
58	CDCl <sub>3</sub> : 8.39-8.33 (1H, m), 8.28-8.20 (2H, m), 7.99-7.90 (3H, m), 7.84-7.76 (1H, m), 7.65-7.58 (1H, m), 6.66-6.58 (2H, m), 4.53 (1H, d, J=12Hz), 4.38 (1H, d, J=17Hz), 4.26 (1H, d, J=12Hz), 3.55-3.10 (7H, m), 2.89 (1H, d, J=14Hz), 2.24 (1H, d, J=12Hz), 1.94-1.84 (2H, m), 1.57-1.48 (2H, m)
59	DMSO-d <sub>6</sub> *: 8.56-8.52 (1H, m), 8.22 (1H, d, J=9Hz), 8.18-8.08 (4H, m), 7.91-7.85 (1H, m), 7.70-7.64 (1H, m), 6.74-6.64 (3H, m), 4.14-3.96 (5H, m), 3.62 (1H, d, J=16Hz), 3.50-3.12 (6H, m), 3.08 (1H, d, J=12Hz), 2.81 (1H, d, J=12Hz), 1.90-1.74 (2H, m), 1.50-1.43 (2H, m), 1.19-1.13 (3H, m)
60	CDCl <sub>3</sub> *: 8.40-8.33 (1H, m), 8.28-8.20 (2H, m), 8.02-7.88 (3H, m), 7.84-7.77 (1H, m), 7.61 (1H, dd, J=2, 9Hz), 6.66-6.58 (2H, m), 4.67 (1H, d, J=11Hz), 4.37 (1H, d, J=17Hz), 4.28-4.15 (3H, m), 3.61-3.21 (7H, m), 3.17 (1H, d, J=12Hz), 2.97 (2H, s), 2.27-1.84 (3H, m), 1.56-1.46 (2H, m), 1.30 (3H, t, J=7Hz)
61	CDCl <sub>3</sub> *: 8.37 (1H, s), 8.28-8.12 (2H, m), 8.02-7.93 (3H, m), 7.85-7.77 (1H, m), 7.66-7.60 (1H, m), 6.66-6.58 (2H, m), 4.72 (1H, d, J=11Hz), 4.36 (1H, d, J=17Hz), 4.27 (1H, d, J=12Hz), 3.77-3.67 (4H, m), 3.56-3.17 (6H, m), 2.94-2.46 (6H, m), 2.15 (1H, d, J=11Hz), 2.00-1.70 (2H, m), 1.54-1.43 (2H, m)

10026606-12-2701

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	250

FIG. 29

Ex. No.	N M R (270MHz) (* : 300MHz) (ppm)
62	DMSO-d <sub>6</sub> *: 13.3 (1H, brs), 8.62 (1H, s), 8.33 (1H, d, J=9Hz), 8.31-8.16 (4H, m), 7.95-7.87 (1H, m), 7.80-7.72 (1H, m), 7.19 (2H, d, J=7Hz), 4.51-4.40 (1H, m), 4.34-4.05 (2H, m), 4.05-2.20 (17H, m), 2.34 (6H, s), 2.04-1.82 (2H, m), 1.66-1.46 (2H, m)
63	CDCl <sub>3</sub> *: 8.40-8.35 (1H, m), 8.05-8.00 (1H, m), 8.00-7.93 (3H, m), 7.84-7.77 (1H, m), 7.63 (1H, dd, J=9, 2Hz), 6.49-6.35 (1H, m), 4.55-4.48 (1H, m), 4.42 (1H, d, J=12Hz), 4.42-4.33 (1H, m), 4.26 (1H, d, J=12Hz), 4.23-4.15 (1H, m), 4.05-3.80 (2H, m), 3.49-3.28 (3H, m), 3.19 (1H, d, J=12Hz), 2.37 (1H, d, J=12Hz), 2.13 (3H, s), 2.00-1.89 (1H, m), 1.85-1.73 (1H, m), 1.53-1.43 (2H, m)
64	CDCl <sub>3</sub> : 8.56 (1H, s), 8.40 (1H, s), 8.20-8.15 (1H, m), 8.08-7.93 (3H, m), 7.81-7.63 (3H, m), 6.50-6.44 (1H, m), 4.55-4.15 (3H, m), 4.38 (1H, d, J=17Hz), 4.26 (1H, d, J=12Hz), 3.96-3.80 (2H, m), 3.54-3.30 (2H, m), 3.38 (1H, d, J=17Hz), 3.18 (1H, d, J=12Hz), 2.36 (1H, d, J=12Hz), 2.12 (3H, s), 1.98-1.68 (2H, m), 1.52-1.43 (2H, m)
65	CDCl <sub>3</sub> *: 8.56 (1H, s), 8.40-8.36 (1H, m), 8.21-8.15 (1H, m), 8.02-7.94 (3H, m), 7.83-7.77 (1H, m), 7.63 (1H, dd, J=2, 8Hz), 6.50-6.46 (1H, m), 4.51 (1H, d, J=12Hz), 4.47-4.23 (3H, m), 4.19 (1H, d, J=12Hz), 3.96-3.83 (2H, m), 3.53-3.34 (3H, m), 3.18 (1H, d, J=12Hz), 2.38 (1H, d, J=12Hz), 2.13 (3H, s), 1.98-1.88 (1H, m), 1.85-1.59 (1H, m), 1.52-1.45 (2H, m)
66	CDCl <sub>3</sub> *: 8.57 (1H, s), 8.39-8.35 (1H, m), 8.28-8.12 (1H, m), 7.99-7.93 (3H, m), 7.82-7.76 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.53-6.46 (1H, m), 4.49-4.24 (3H, m), 3.94 (1H, d, J=12Hz), 3.99-3.76 (2H, m), 3.73 (1H, d, J=12Hz), 3.69-3.55 (1H, m), 3.51-3.36 (2H, m), 3.20 (1H, d, J=12Hz), 2.34 (1H, d, J=12Hz), 1.98-1.60 (2H, m), 1.54-1.46 (2H, m)
67	CDCl <sub>3</sub> *: 8.57 (1H, s), 8.38-8.34 (1H, m), 8.22-8.17 (1H, m), 7.98-7.93 (3H, m), 7.82-7.75 (1H, m), 7.65-7.60 (1H, dd, J=2, 8Hz), 6.52-6.46 (1H, m), 4.82-4.74 (1H, m), 4.37-4.24 (3H, m), 4.11 (1H, d, J=12Hz), 3.97-3.85 (2H, m), 3.60-3.32 (4H, m), 2.50 (1H, d, J=12Hz), 1.85-1.54 (4H, m), 1.36 (3H, t, J=7Hz)

100-2205-122-202

APPROVED BY DRAFTSMAN	O.G. FIG.	
	CLASS	SUBCLASS
	51K	250

FIG. 30

Ex. No.	N M R (270MHz) (* : 300MHz) (ppm)
68	CD <sub>3</sub> OD+CDCl <sub>3</sub> *: 8.47-8.40 (2H, m), 8.10-7.99 (4H, m), 7.86 (1H, dd, J=2, 9Hz), 7.67-7.60 (1H, m), 6.70-6.64 (1H, m), 4.67 (1H, d, J=11Hz), 4.20 (1H, d, J=16Hz), 4.08-3.94 (2H, m), 3.90 (1H, d, J=12Hz), 3.68-3.31 (4H, m), 2.63 (1H, d, J=11Hz), 2.02-1.92 (1H, m), 1.78-1.66 (1H, m), 1.65-1.54 (2H, m)
69	CDCl <sub>3</sub> *: 8.37-8.34 (1H, m), 8.28-8.22 (2H, m), 7.99-7.92 (3H, m), 7.82-7.75 (1H, m), 7.65-7.59 (1H, m), 6.65-6.59 (2H, m), 4.35 (1H, d, J=17Hz), 4.27-4.12 (2H, m), 3.31 (1H, d, J=17Hz), 3.56-3.17 (4H, m), 3.13 (1H, d, J=12Hz), 2.37 (1H, d, J=11Hz), 1.93-1.83 (2H, m), 1.64 (3H, s), 1.53-1.45 (2H, m)
70	CDCl <sub>3</sub> *: 14.49 (1H, brs), 8.36 (1H, s), 8.32-8.12 (2H, m), 8.05-7.89 (3H, m), 7.79 (1H, d, J=8Hz), 7.63 (1H, d, J=9Hz), 7.05-6.75 (2H, m), 4.35 (1H, d, J=17Hz), 4.30-4.10 (2H, m), 4.01-3.70 (2H, m), 3.70-3.52 (1H, m), 3.52-3.33 (1H, m), 3.33 (1H, d, J=17Hz), 3.25-3.12 (1H, m), 2.85 (3H, s), 2.48-2.35 (1H, m), 2.02-1.80 (2H, m), 1.72-1.49 (2H, m), 1.66 (3H, s)
71	CDCl <sub>3</sub> *: 8.39-8.33 (1H, m), 8.29-8.21 (2H, m), 8.00-7.90 (3H, m), 7.83-7.76 (1H, m), 7.65-7.58 (1H, m), 6.66-6.59 (2H, m), 4.40-4.26 (2H, m), 4.20 (1H, d, J=12Hz), 3.71 (3H, s), 3.59-3.48 (1H, m), 3.48-3.33 (2H, m), 3.34 (1H, d, J=17Hz), 3.33-3.18 (1H, m), 3.13 (1H, d, J=12Hz), 2.50-2.31 (2H, m), 2.27 (1H, d, J=12Hz), 2.14-1.70 (6H, m), 1.56-1.45 (2H, m)
72	DMSO-d <sub>6</sub> *: 8.58 (1H, s), 8.32-7.96 (5H, m), 7.96-7.78 (1H, m), 7.74-7.60 (1H, m), 6.84-6.62 (2H, m), 4.19-3.95 (3H, m), 3.72-2.94 (6H, m), 2.63-1.00 (12H, m)
73	CDCl <sub>3</sub> *: 8.36-8.33 (1H, m), 8.26-8.21 (2H, m), 7.98-7.92 (3H, m), 7.80-7.75 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.64-6.59 (2H, m), 4.36 (1H, d, J=17Hz), 4.27-4.17 (2H, m), 4.06-4.00 (1H, m), 3.65-3.58 (1H, m), 3.53-3.16 (5H, m), 3.02 (1H, d, J=12Hz), 2.46 (1H, brs), 2.29 (1H, d, J=12Hz), 1.93-1.75 (2H, m), 1.49-1.41 (2H, m)
74	CDCl <sub>3</sub> *: 8.35 (1H, s), 8.28-8.18 (2H, m), 8.01-7.88 (3H, m), 7.82-7.72 (1H, m), 7.62 (1H, dd, J=2, 9Hz), 6.68-6.58 (2H, m), 4.35 (1H, d, J=12Hz), 4.34 (1H, d, J=17Hz), 4.25-4.18 (1H, m), 4.00-3.68 (4H, m), 3.43 (1H, d, J=17Hz), 3.20-3.12 (1H, m), 3.03-2.70 (2H, m), 2.42 (1H, d, J=12Hz), 2.42 (3H, s), 2.10-1.95 (1H, m), 1.84-1.66 (2H, m), 1.15-1.02 (1H, m)

10026666-1122701

APPROVED BY	O.G. FIG.	
DRAFTSMAN	CLASS 54	SUBCLASS 250

FIG. 31

Ex. No.	N M R (270MHz) (* : 300MHz) (ppm)
75	$\text{CDCl}_3$ *: 8.38-8.33 (1H, m), 8.28-8.22 (2H, m), 8.00-7.90 (3H, m), 7.82-7.74 (1H, m), 7.65-7.58 (1H, m), 6.65-6.55 (2H, m), 4.38-4.15 (3H, m), 3.97-3.87 (1H, m), 3.83-3.72 (1H, m), 3.75 (1H, d, $J=10\text{Hz}$ ), 3.67 (1H, d, $J=10\text{Hz}$ ), 3.38 (3H, s), 3.34 (1H, d, $J=17\text{Hz}$ ), 3.22 (1H, d, $J=11\text{Hz}$ ), 2.87-2.66 (2H, m), 2.37 (3H, s), 2.26 (1H, d, $J=11\text{Hz}$ ), 1.98-1.81 (2H, m), 1.77-1.58 (1H, m), 1.15-1.05 (1H, m)
76	$\text{CD}_3\text{OD}$ *: 8.56-8.52 (1H, m), 8.18-8.06 (5H, m), 7.91 (1H, dd, $J=2, 9\text{Hz}$ ), 7.66 (1H, dd, $J=2, 9\text{Hz}$ ), 7.18-7.12 (2H, m), 4.51 (1H, d, $J=12\text{Hz}$ ), 4.43-4.28 (3H, m), 4.26-4.15 (1H, m), 3.89-3.75 (2H, m), 3.50 (1H, d, $J=16\text{Hz}$ ), 3.39 (3H, s), 3.56-3.11 (3H, m), 2.77-2.66 (1H, m), 2.68 (6H, s), 2.61 (3H, s), 2.15-2.02 (2H, m), 1.93-1.77 (1H, m), 1.50-1.40 (1H, m)
77	$\text{CDCl}_3$ *: 8.38-8.32 (1H, m), 8.28-8.20 (2H, m), 8.00-7.90 (3H, m), 7.82-7.75 (1H, m), 7.61 (1H, dd, $J=2, 9\text{Hz}$ ), 6.63-6.56 (2H, m), 4.35 (1H, d, $J=17\text{Hz}$ ), 4.23-4.12 (2H, m), 3.73 (1H, d, $J=10\text{Hz}$ ), 3.48 (1H, d, $J=10\text{Hz}$ ), 3.53-3.13 (5H, m), 3.44 (3H, s), 2.97 (1H, d, $J=12\text{Hz}$ ), 2.52-2.44 (1H, brs), 2.24 (1H, d, $J=12\text{Hz}$ ), 1.91-1.69 (2H, m), 1.47-1.30 (2H, m)
78	$\text{CDCl}_3$ *: 8.37-8.32 (1H, m), 8.31-8.24 (2H, m), 8.00-7.90 (3H, m), 7.81-7.74 (1H, m), 7.61 (1H, d, $J=2, 9\text{Hz}$ ), 6.67-6.60 (2H, m), 5.15 (1H, d, $J=13\text{Hz}$ ), 4.67 (1H, d, $J=11\text{Hz}$ ), 4.45 (1H, d, $J=17\text{Hz}$ ), 4.34 (1H, d, $J=10\text{Hz}$ ), 4.00-3.77 (2H, m), 3.89 (1H, d, $J=10\text{Hz}$ ), 3.51-3.28 (2H, m), 3.36 (3H, s), 3.05-2.90 (1H, m), 2.85-2.71 (1H, m), 2.55-2.38 (1H, m), 2.32 (1H, d, $J=13\text{Hz}$ ), 2.20-1.95 (2H, m), 2.10 (3H, s), 1.41-1.22 (1H, m)
79	$\text{CDCl}_3$ *: 8.40-8.35 (1H, m), 8.28-8.20 (2H, m), 8.07-7.93 (3H, m), 7.81-7.63 (3H, m), 6.65-6.57 (2H, m), 4.36 (1H, d, $J=17\text{Hz}$ ), 4.24-4.14 (2H, m), 3.74 (1H, d, $J=9\text{Hz}$ ), 3.53-3.13 (6H, m), 3.45 (3H, s), 2.97 (1H, d, $J=12\text{Hz}$ ), 2.51-2.44 (1H, brs), 2.24 (1H, d, $J=12\text{Hz}$ ), 1.92-1.68 (2H, m), 1.47-1.28 (2H, m)
80	$\text{CDCl}_3$ *: 8.40-8.34 (1H, m), 8.28-8.21 (2H, m), 8.07-7.92 (3H, m), 7.79-7.62 (3H, m), 6.66-6.57 (2H, m), 4.34 (1H, d, $J=17\text{Hz}$ ), 4.28-4.14 (2H, m), 3.97-3.87 (1H, m), 3.83-3.65 (3H, m), 3.38 (3H, s), 3.35 (1H, d, $J=17\text{Hz}$ ), 3.21 (1H, d, $J=11\text{Hz}$ ), 2.88-2.67 (2H, m), 2.37 (3H, s), 2.24 (1H, d, $J=12\text{Hz}$ ), 1.98-1.80 (2H, m), 1.77-1.62 (1H, m), 1.15-1.05 (1H, m)

100-2500-1122700

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	S14	258

FIG. 32

Ex. No.	N M R (270MHz) (* : 300MHz) (ppm)
81	CDCl <sub>3</sub> *: 8.40-8.36 (1H, m), 8.28-8.20 (2H, m), 8.07-7.92 (3H, m), 7.81-7.60 (3H, m), 6.64-6.58 (2H, m), 4.43-4.32 (2H, m), 4.20 (1H, d, J=12Hz), 3.72-3.60 (2H, m), 3.50-3.17 (5H, m), 3.44 (3H, s), 3.35 (1H, d, J=17Hz), 2.28 (1H, d, J=12Hz), 2.03-1.78 (2H, m), 1.54-1.46 (2H, m)
82	CDCl <sub>3</sub> *: 8.40-8.36 (1H, m), 8.28-8.20 (2H, m), 8.06-7.92 (3H, m), 7.79-7.64 (3H, m), 6.64-6.57 (2H, m), 4.43-4.30 (2H, m), 4.20 (1H, d, J=12Hz), 3.68 (1H, d, J=10Hz), 3.63 (1H, d, J=10Hz), 3.49-3.17 (5H, m), 3.44 (3H, s), 3.35 (1H, d, J=17Hz), 2.28 (1H, d, J=12Hz), 2.03-1.79 (2H, m), 1.53-1.45 (2H, m)

TOP SECRET - 1982/01

APPROVED BY DRAFTSMAN	O.G. FIG. CLASS 514	SUBCLASS 25
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FIG. 33

Ex. No.	NMR(ppm) (*:300MHz, 270MHz)
201	CDCl <sub>3</sub> *:8.30–8.23 (2H, m), 7.37–7.18 (3H, m), 7.07–6.88 (2H, m), 6.70–6.63 (2H, m), 5.21–5.15 (1H, m), 4.94–4.82 (2H, m), 4.31–4.20 (2H, m), 3.84 (1H, d, J=12Hz), 3.66 (1H, d, J=17Hz), 3.67–3.52 (2H, m), 3.43–3.25 (2H, m), 3.22 (1H, d, J=12Hz), 2.89–2.80 (1H, m), 2.01–1.91 (1H, m), 1.89–1.70 (3H, m)
202	CDCl <sub>3</sub> *:8.32–8.24 (2H, m), 7.30 (1H, s), 7.17–7.11 (1H, m), 7.04–6.91 (2H, m), 6.70–6.63 (2H, m), 5.22–5.15 (1H, m), 4.95–4.82 (2H, m), 4.32–4.18 (2H, m), 3.85 (1H, d, J=12Hz), 3.65 (1H, d, J=17Hz), 3.65–3.53 (2H, m), 3.43–3.18 (3H, m), 2.90–2.80 (1H, m), 2.02–1.91 (1H, m), 1.89–1.70 (3H, m)
209	CDCl <sub>3</sub> *:8.29–8.24 (2H, m), 7.96–7.86 (3H, m), 7.58–7.48 (2H, m), 6.69–6.64 (2H, m), 5.23 (1H, d, J=4, 9Hz), 4.42–4.30 (2H, m), 3.78 (1H, d, J=12Hz), 3.65–3.48 (2H, m), 3.47 (1H, d, J=17Hz), 3.45–3.16 (3H, m), 2.56 (1H, dd, J=9, 12Hz), 2.01–1.92 (1H, m), 1.85–1.62 (3H, m)
210	CDCl <sub>3</sub> *:8.30–8.24 (2H, m), 7.87–7.81 (1H, m), 7.83 (1H, s), 7.62–7.56 (1H, m), 7.36–7.28 (1H, m), 6.68–6.63 (2H, m), 5.26–5.19 (1H, m), 4.41–4.29 (2H, m), 3.78 (1H, d, J=12Hz), 3.63–3.49 (2H, m), 3.48 (1H, d, J=17Hz), 3.43–3.24 (2H, m), 3.20 (1H, d, J=12Hz), 2.62–2.52 (1H, m), 2.00–1.90 (1H, m), 1.84–1.62 (3H, m)
211	CDCl <sub>3</sub> *:8.30–8.24 (2H, m), 7.93–7.90 (1H, m), 7.85–7.79 (2H, m), 7.54–7.48 (1H, m), 6.69–6.63 (2H, m), 5.22 (1H, dd, J=4, 9Hz), 4.41–4.28 (2H, m), 3.82–3.75 (1H, m), 3.64–3.48 (2H, m), 3.47 (1H, d, J=17Hz), 3.43–3.24 (2H, m), 3.24–3.18 (1H, m), 2.57 (1H, dd, J=9, 12Hz), 2.00–1.91 (1H, m), 1.84–1.63 (3H, m)
213	CDCl <sub>3</sub> *:8.30–8.24 (2H, m), 7.90–7.88 (1H, m), 7.86 (1H, d, J=9Hz), 7.85–7.83 (1H, m), 7.48 (1H, dd, J=2, 9Hz), 6.69–6.64 (2H, m), 5.26–5.19 (1H, m), 4.41–4.29 (2H, m), 3.78 (1H, d, J=12Hz), 3.64–3.50 (2H, m), 3.47 (1H, d, J=17Hz), 3.43–3.24 (2H, m), 3.21 (1H, d, J=12Hz), 2.62–2.52 (1H, m), 2.01–1.91 (1H, m), 1.85–1.64 (3H, m)
219	CDCl <sub>3</sub> *:8.30–8.24 (2H, m), 7.94 (1H, d, J=6Hz), 7.80 (1H, s), 7.67 (1H, d, J=9Hz), 6.70–6.63 (2H, m), 5.26–5.19 (1H, m), 4.42–4.28 (2H, m), 3.83–3.75 (1H, m), 3.64–3.48 (2H, m), 3.47 (1H, d, J=17Hz), 3.43–3.24 (2H, m), 3.24–3.17 (1H, m), 2.63–2.52 (1H, m), 2.01–1.90 (1H, m), 1.86–1.55 (3H, m)

10026606 - 122701

APPROVED BY DRAFTSMAN	O.G. FIG.
	CLASS 54 SUBCLASS 250

## FIG. 34

Ex. No.	NMR(ppm) (*:300MHz, 270MHz)
220	CDCl <sub>3</sub> *:8.30–8.25 (2H, m), 7.86–7.82 (1H, m), 7.78 (1H, d, J=9Hz), 7.54–7.48 (1H, m), 6.79–6.73 (2H, m), 5.25–5.17 (1H, m), 4.45–4.33 (2H, m), 3.79 (1H, d, J=12Hz), 3.64–3.47 (2H, m), 3.52 (1H, d, J=17Hz), 3.44–3.17 (3H, m), 2.72 (3H, s), 2.70–2.60 (1H, m), 2.01–1.93 (1H, m), 1.85–1.65 (3H, m)
223	CDCl <sub>3</sub> *:8.31–8.24 (2H, m), 7.72–7.68 (1H, m), 7.54–7.45 (2H, m), 7.41–7.39 (1H, m), 6.69–6.64 (2H, m), 5.22–5.15 (1H, m), 4.48–4.34 (2H, m), 3.80 (1H, d, J=12Hz), 3.67 (1H, d, J=17Hz), 3.64–3.51 (2H, m), 3.43–3.25 (2H, m), 3.20 (1H, d, J=12Hz), 2.82–2.72 (1H, m), 1.99–1.89 (1H, m), 1.87–1.66 (3H, m)
224	CDCl <sub>3</sub> *:8.30–8.25 (2H, m), 7.86 (1H, d, J=2Hz), 7.62 (1H, dd, J=2, 9Hz), 7.46 (1H, d, J=9Hz), 7.41–7.38 (1H, m), 6.69–6.63 (2H, m), 5.22–5.13 (1H, m), 4.48–4.40 (1H, m), 4.38 (1H, d, J=17Hz), 3.80 (1H, d, J=12Hz), 3.67 (1H, d, J=17Hz), 3.65–3.50 (2H, m), 3.43–3.15 (3H, m), 2.82–2.72 (1H, m), 1.98–1.88 (1H, m), 1.86–1.66 (3H, m)
275	CDCl <sub>3</sub> *:8.30–8.24 (2H, m), 7.90–7.82 (3H, m), 7.48 (1H, dd, J=2, 9Hz), 6.68–6.63 (2H, m), 4.83–4.72 (1H, m), 4.37–4.28 (1H, m), 4.31 (1H, d, J=17Hz), 3.59 (1H, d, J=12Hz), 3.47 (1H, d, J=17Hz), 3.55–3.33 (4H, m), 3.22 (1H, d, J=12Hz), 2.53–2.43 (1H, m), 1.85–1.55 (5H, m)
285	CDCl <sub>3</sub> *:8.30–8.23 (2H, m), 7.73–7.68 (1H, m), 7.53–7.44 (2H, m), 7.39 (1H, s), 6.70–6.63 (2H, m), 4.78–4.67 (1H, m), 4.45–4.32 (2H, m), 3.70–3.57 (2H, m), 3.55–3.32 (4H, m), 3.22 (1H, d, J=12Hz), 2.74–2.63 (1H, m), 1.85–1.57 (5H, m)
337	CDCl <sub>3</sub> *:8.31–8.25 (2H, m), 7.90–7.82 (3H, m), 7.47 (1H, dd, J=2, 9Hz), 6.70–6.63 (2H, m), 4.37–4.18 (3H, m), 4.05–3.87 (2H, m), 3.73 (1H, d, J=12Hz), 3.48 (1H, d, J=17Hz), 3.40 (1H, d, J=12Hz), 2.95–2.72 (2H, m), 2.45 (1H, dd, J=9, 11Hz), 2.30 (3H, s), 2.00–1.87 (1H, m), 1.86–1.73 (1H, m), 1.58–1.49 (1H, m), 1.47–1.37 (1H, m)
347	CDCl <sub>3</sub> *:8.29 (2H, dd, J=1, 5Hz), 7.72–7.68 (1H, m), 7.53–7.43 (2H, m), 7.39 (1H, d, J=1Hz), 6.67 (2H, dd, J=2, 5Hz), 4.40–4.20 (3H, m), 4.05–3.88 (2H, m), 3.77–3.70 (1H, m), 3.66 (1H, d, J=17Hz), 3.46–3.39 (1H, m), 2.95–2.73 (2H, m), 2.64 (1H, dd, J=9, 11Hz), 2.30 (3H, s), 2.01–1.88 (1H, m), 1.86–1.73 (1H, m), 1.57–1.39 (2H, m)

10026505-142204

APPROVED BY DRAFTSMAN	O.G. FIG. CLASS 514	SUBCLASS 250
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FIG. 35

Ex. No.	NMR(ppm) (*:300MHz, 270MHz)
388	CDCl <sub>3</sub> *:8.30–8.22 (2H, m), 7.28 (1H, s), 7.16–7.11 (1H, m), 7.03–6.97 (1H, m), 6.95–6.90 (1H, m), 6.68–6.62 (2H, m), 4.96–4.82 (2H, m), 4.32–4.18 (3H, m), 3.69 (1H, d, J=17Hz), 3.65–3.30 (6H, m), 3.41 (3H, s), 3.23 (1H, d, J=12Hz), 2.74 (1H, d, J=12Hz), 2.05–1.82 (2H, m), 1.73–1.57 (2H, m)
399	CDCl <sub>3</sub> *:8.29–8.22 (2H, m), 7.90 (1H, d, J=2Hz), 7.88–7.84 (1H, m), 7.84 (1H, s), 7.51–7.45 (1H, m), 6.66–6.60 (2H, m), 4.39–4.30 (2H, m), 4.23 (1H, d, J=12Hz), 3.69–3.60 (2H, m), 3.50 (1H, d, J=17Hz), 3.50–3.26 (4H, m), 3.44 (3H, s), 3.23 (1H, d, J=12Hz), 2.43 (1H, d, J=12Hz), 2.05–1.82 (2H, m), 1.60–1.50 (2H, m)
409	CDCl <sub>3</sub> *:8.30–8.22 (2H, m), 7.73–7.69 (1H, m), 7.56–7.45 (2H, m), 7.40 (1H, s), 6.67–6.61 (2H, m), 4.45–4.34 (2H, m), 4.25 (1H, d, J=11Hz), 3.73 (1H, d, J=17Hz), 3.63 (1H, d, J=10Hz), 3.59 (1H, d, J=10Hz), 3.41 (3H, s), 3.52–3.20 (5H, m), 2.63 (1H, d, J=12Hz), 2.05–1.82 (2H, m), 1.64–1.55 (2H, m)
461	CDCl <sub>3</sub> *:8.24 (2H, d, J=6Hz), 7.92–7.82 (3H, m), 7.51–7.45 (1H, m), 6.62 (2H, d, J=6Hz), 4.33 (1H, d, J=17Hz), 4.21 (1H, d, J=12Hz), 4.16 (1H, d, J=12Hz), 3.74–3.68 (1H, m), 3.55–3.18 (6H, m), 3.45 (3H, s), 2.99 (1H, d, J=12Hz), 2.49 (1H, s), 2.38 (1H, d, J=12Hz), 1.92–1.70 (2H, m), 1.50–1.40 (2H, m)
471	CDCl <sub>3</sub> *:8.28–8.23 (2H, m), 7.73–7.69 (1H, m), 7.55–7.45 (2H, m), 7.40–7.38 (1H, m), 6.67–6.60 (2H, m), 4.43–4.34 (1H, m), 4.27–4.20 (1H, m), 4.21 (1H, d, J=12Hz), 3.74–3.66 (1H, m), 3.67 (1H, d, J=10Hz), 3.50–3.20 (4H, m), 3.45 (1H, d, J=10Hz), 3.42 (3H, s), 3.05–2.97 (1H, m), 2.57 (1H, d, J=12Hz), 2.49 (1H, s), 1.93–1.71 (2H, m), 1.55–1.44 (2H, m)
523	CDCl <sub>3</sub> *:8.27–8.23 (2H, m), 7.90–7.82 (3H, m), 7.50–7.45 (1H, m), 6.66–6.61 (2H, m), 4.37–4.28 (1H, m), 4.26–4.17 (2H, m), 3.98–3.63 (4H, m), 3.54–3.45 (1H, m), 3.38 (3H, s), 3.26–3.20 (1H, m), 2.89–2.69 (2H, m), 2.43–2.36 (1H, m), 2.38 (3H, s), 1.99–1.66 (3H, m), 1.20–1.11 (1H, m)
533	CDCl <sub>3</sub> *:8.28–8.23 (2H, m), 7.72–7.68 (1H, m), 7.54–7.44 (2H, m), 7.39–7.37 (1H, m), 6.67–6.62 (2H, m), 4.42–4.33 (1H, m), 4.29–4.21 (1H, m), 4.26 (1H, d, J=12Hz), 3.98–3.77 (2H, m), 3.75–3.68 (1H, m), 3.71 (1H, d, J=10Hz), 3.60 (1H, d, J=10Hz), 3.35 (3H, s), 3.27–3.21 (1H, m), 2.91–2.69 (2H, m), 2.58 (1H, d, J=12Hz), 2.38 (3H, s), 1.99–1.69 (3H, m), 1.23–1.16 (1H, m)

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APPROVED BY	O.G. FIG.	
DRAFTSMAN	CLASS 514	SUBCLASS 250

## FIG. 36

Ex. No.	NMR(ppm) (*:300MHz, 270MHz)
574	$\text{CDCl}_3$ *:8.30–8.25 (2H, m), 7.67–7.58 (2H, m), 7.46–7.36 (2H, m), 6.70–6.65 (2H, m), 5.24–5.16 (1H, m), 4.50–4.37 (1H, m), 4.37 (1H, d, $J=17\text{Hz}$ ), 3.81 (1H, d, $J=12\text{Hz}$ ), 3.70–3.51 (3H, m), 3.45–3.25 (2H, m), 3.20 (1H, d, $J=12\text{Hz}$ ), 2.82–2.73 (1H, m), 1.99–1.90 (1H, m), 1.87–1.65 (3H, m)
580	$\text{CDCl}_3$ *:9.55 (1H, brs), 8.28 (2H, d, $J=5\text{Hz}$ ), 7.73 (1H, d, $J=8\text{Hz}$ ), 7.48 (1H, d, $J=8\text{Hz}$ ), 7.45–7.37 (1H, m), 7.32–7.21 (1H, m), 7.13 (1H, s), 6.66 (2H, d, $J=5\text{Hz}$ ), 5.20–5.12 (1H, m), 4.36–4.26 (2H, m), 3.76 (1H, d, $J=11\text{Hz}$ ), 3.64–3.48 (2H, m), 3.43 (1H, d, $J=17\text{Hz}$ ), 3.42–3.12 (3H, m), 2.54–2.44 (1H, m), 1.98–1.86 (1H, m), 1.82–1.60 (3H, m)
600	$\text{CDCl}_3$ *:8.30–8.25 (2H, m), 7.54–7.47 (1H, m), 7.13–7.10 (1H, m), 6.95–6.92 (1H, m), 6.70–6.65 (2H, m), 6.36–6.28 (1H, m), 5.19 (1H, dd, $J=4, 9\text{Hz}$ ), 4.30–4.18 (2H, m), 3.84 (1H, d, $J=12\text{Hz}$ ), 3.64–3.53 (2H, m), 3.58 (1H, d, $J=17\text{Hz}$ ), 3.44–3.27 (2H, m), 3.22 (1H, d, $J=12\text{Hz}$ ), 2.73 (1H, dd, $J=9, 12\text{Hz}$ ), 2.01–1.92 (1H, m), 1.89–1.70 (3H, m)
628	$\text{CDCl}_3$ *:8.30–8.24 (2H, m), 7.54–7.45 (1H, m), 7.14–7.09 (1H, m), 6.96–6.90 (1H, m), 6.70–6.63 (2H, m), 6.37–6.28 (1H, m), 4.80–4.66 (1H, m), 4.27–4.15 (2H, m), 3.64 (1H, d, $J=12\text{Hz}$ ), 3.58 (1H, d, $J=17\text{Hz}$ ), 3.56–3.35 (4H, m), 3.25 (1H, d, $J=12\text{Hz}$ ), 2.68–2.58 (1H, m), 1.90–1.60 (5H, m)
656	$\text{CDCl}_3$ *:8.32–8.25 (2H, m), 7.49 (1H, d, $J=15\text{Hz}$ ), 7.13–7.09 (1H, m), 6.96–6.91 (1H, m), 6.71–6.65 (2H, m), 6.31 (1H, d, $J=15\text{Hz}$ ), 4.29–4.10 (3H, m), 4.05–3.90 (2H, m), 3.79–3.71 (1H, m), 3.59 (1H, d, $J=17\text{Hz}$ ), 3.52–3.43 (1H, m), 2.97–2.75 (2H, m), 2.58 (1H, dd, $J=9, 12\text{Hz}$ ), 2.29 (3H, s), 2.02–1.89 (1H, m), 1.87–1.73 (1H, m), 1.71–1.42 (2H, m)
684	$\text{CDCl}_3$ *:8.30–8.23 (2H, m), 7.50 (1H, d, $J=15\text{Hz}$ ), 7.14–7.10 (1H, m), 6.96–6.92 (1H, m), 6.69–6.62 (2H, m), 6.31 (1H, d, $J=15\text{Hz}$ ), 4.30–4.18 (3H, m), 3.67–3.56 (3H, m), 3.52–3.30 (4H, m), 3.43 (3H, s), 3.24 (1H, d, $J=12\text{Hz}$ ), 2.62 (1H, d, $J=12\text{Hz}$ ), 2.05–1.83 (2H, m), 1.68–1.60 (2H, m)
712	$\text{CDCl}_3$ *:8.30–8.23 (2H, m), 7.48 (1H, d, $J=15\text{Hz}$ ), 7.11 (1H, d, $J=4\text{Hz}$ ), 6.93 (1H, d, $J=4\text{Hz}$ ), 6.69–6.62 (2H, m), 6.31 (1H, d, $J=15\text{Hz}$ ), 4.25 (1H, d, $J=12\text{Hz}$ ), 4.22 (1H, d, $J=17\text{Hz}$ ), 4.07–4.01 (1H, m), 3.68 (1H, d, $J=10\text{Hz}$ ), 3.56 (1H, d, $J=17\text{Hz}$ ), 3.52–3.25 (4H, m), 3.47 (1H, d, $J=10\text{Hz}$ ), 3.43 (3H, s), 3.01 (1H, d, $J=12\text{Hz}$ ), 2.58–2.52 (1H, m), 2.48 (1H, s), 1.95–1.72 (2H, m), 1.57–1.50 (2H, m)

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APPROVED	O.G. FIG.
BY	CLASS
DRAFTSMAN	SUBCLASS

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FIG. 37

Ex. No.	NMR(ppm) (*:300MHz, 270MHz)
740	CDCl <sub>3</sub> *:8.29–8.24 (2H, m), 7.52–7.45 (1H, m), 7.11 (1H, d, J=4Hz), 6.93 (1H, d, J=4Hz), 6.68–6.64 (2H, m), 6.33–6.26 (1H, m), 4.32–4.17 (2H, m), 4.14–4.08 (1H, m), 3.99–3.81 (2H, m), 3.71 (1H, d, J=10Hz), 3.66–3.56 (1H, m), 3.62 (1H, d, J=10Hz), 3.38 (3H, s), 3.27–3.21 (1H, m), 2.95–2.71 (2H, m), 2.58–2.53 (1H, m), 2.37 (3H, s), 2.01–1.73 (3H, m), 1.30–1.21 (1H, m)
759	CDCl <sub>3</sub> *:8.41–8.36 (1H, m), 8.27 (2H, dd, J=1, 5Hz), 8.06–7.93 (3H, m), 7.80–7.64 (3H, m), 6.65 (2H, dd, J=1, 5Hz), 5.24–5.17 (1H, m), 4.43–4.30 (2H, m), 3.75 (1H, d, J=12Hz), 3.62–3.47 (2H, m), 3.43–3.21 (2H, m), 3.31 (1H, d, J=17Hz), 3.18 (1H, d, J=12Hz), 2.48–2.38 (1H, m), 1.99–1.90 (1H, m), 1.82–1.60 (3H, m)
760	CDCl <sub>3</sub> *:8.40–8.36 (1H, m), 8.30–8.24 (3H, m), 8.24–8.18 (1H, m), 8.06–8.01 (1H, m), 7.58 (1H, d, J=9Hz), 6.69–6.63 (2H, m), 5.24–5.17 (1H, m), 4.44–4.29 (2H, m), 3.80–3.73 (1H, m), 3.63–3.48 (2H, m), 3.42–3.23 (2H, m), 3.33 (1H, d, J=17Hz), 3.22–3.16 (1H, m), 2.54–2.45 (1H, m), 2.00–1.90 (1H, m), 1.84–1.58 (3H, m)
761	CDCl <sub>3</sub> *:8.27 (2H, d, J=6Hz), 7.87 (1H, s), 7.66–7.60 (1H, m), 7.56–7.50 (1H, m), 7.43 (1H, s), 6.67 (2H, d, J=6Hz), 5.23–5.15 (1H, m), 4.50–4.33 (2H, m), 3.80 (1H, d, J=12Hz), 3.67 (1H, d, J=17Hz), 3.66–3.50 (2H, m), 3.45–3.24 (2H, m), 3.20 (1H, d, J=12Hz), 3.12 (1H, s), 2.83–2.72 (1H, m), 2.00–1.67 (4H, m)
765	CDCl <sub>3</sub> *:8.38–8.34 (1H, m), 8.27 (2H, dd, J=2, 5Hz), 7.99–7.90 (3H, m), 7.82–7.76 (1H, m), 7.65–7.59 (1H, m), 6.65 (2H, dd, J=2, 5Hz), 4.80–4.69 (1H, m), 4.40–4.32 (1H, m), 4.32 (1H, d, J=16Hz), 3.59–3.28 (6H, m), 3.20 (1H, d, J=12Hz), 2.40–2.30 (1H, m), 1.85–1.50 (5H, m)
769	CDCl <sub>3</sub> *:8.38–8.33 (1H, m), 8.31–8.24 (2H, m), 7.98–7.89 (3H, m), 7.81–7.76 (1H, m), 7.63–7.58 (1H, m), 6.70–6.62 (2H, m), 4.36–4.22 (3H, m), 4.04–3.85 (2H, m), 3.70 (1H, d, J=11Hz), 3.40–3.27 (2H, m), 2.94–2.70 (2H, m), 2.37–2.25 (1H, m), 2.30 (3H, s), 1.99–1.73 (2H, m), 1.65–1.49 (1H, m), 1.44–1.34 (1H, m)
770	CDCl <sub>3</sub> *:8.39–8.35 (1H, m), 8.29–8.19 (4H, m), 8.05–8.00 (1H, m), 7.59 (1H, d, J=9Hz), 6.64–6.59 (2H, m), 4.41–4.32 (2H, m), 4.21 (1H, d, J=12Hz), 3.69–3.58 (2H, m), 3.49–3.18 (5H, m), 3.43 (3H, s), 3.37 (1H, d, J=17Hz), 2.34 (1H, d, J=12Hz), 2.03–1.81 (2H, m), 1.55–1.49 (2H, m)

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	S14	280

FIG. 38

Ex. No.	NMR(ppm) (*:300MHz, 270MHz)
776	DMSO-d <sub>6</sub> *:13.25 (1H, s), 8.59 (1H, s), 8.28–8.17 (4H, m), 8.14–8.08 (1H, m), 7.88 (1H, dd, J=2, 9Hz), 7.80–7.68 (2H, m), 7.23 (2H, d, J=7Hz), 5.25–5.18 (1H, m), 4.23–4.14 (1H, m), 4.06 (1H, d, J=17Hz), 4.00–3.81 (2H, m), 3.71 (1H, d, J=12Hz), 3.62–3.35 (3H, m), 3.15 (1H, d, J=12Hz), 2.75–2.65 (1H, m), 2.31 (3H, s), 2.00–1.52 (4H, m)
777	DMSO-d <sub>6</sub> *:13.22 (1H, s), 8.39–8.34 (1H, m), 8.25–8.15 (3H, m), 8.12–8.07 (1H, m), 7.63–7.57 (1H, m), 7.19 (2H, d, J=7Hz), 4.18–4.02 (3H, m), 3.92–3.28 (7H, m), 3.33 (3H, s), 3.20 (1H, d, J=12Hz), 2.82 (1H, d, J=11Hz), 2.30 (3H, s), 1.94–1.78 (2H, m), 1.70–1.48 (2H, m)
778	DMSO-d <sub>6</sub> *:13.23 (1H, s), 8.26–8.18 (2H, m), 7.65–7.57 (1H, m), 7.52 (1H, d, J=4Hz), 7.26–7.19 (3H, m), 7.09–7.02 (1H, m), 4.24–4.17 (1H, m), 4.01–3.74 (5H, m), 3.62–3.47 (4H, m), 3.32 (3H, s), 3.26–3.20 (1H, m), 2.90–2.84 (1H, m), 2.30 (3H, s), 1.93–1.83 (2H, m), 1.71–1.60 (2H, m)
779	DMSO-d <sub>6</sub> *:13.23 (1H, s), 8.28–8.15 (2H, m), 7.99–7.72 (3H, m), 7.67–7.57 (1H, m), 7.28–7.14 (2H, m), 4.23–4.03 (3H, m), 3.93–3.71 (3H, m), 3.67–3.15 (5H, m), 3.32 (3H, s), 3.07–2.97 (1H, m), 2.30 (3H, s), 1.98–1.77 (2H, m), 1.70–1.53 (2H, m)
780	DMSO-d <sub>6</sub> *:13.23 (1H, s), 8.27–8.18 (2H, m), 7.52–7.46 (1H, m), 7.50 (1H, s), 7.26–7.20 (2H, m), 7.12 (1H, dd, J=2, 8Hz), 7.08–7.06 (1H, m), 5.02 (2H, s), 4.20 (1H, d, J=12Hz), 4.05–3.75 (5H, m), 3.65–3.45 (4H, m), 3.31 (3H, s), 3.23 (1H, d, J=12Hz), 3.03 (1H, d, J=11Hz), 2.29 (3H, s), 2.00–1.55 (4H, m)

PROGRESS REPORT

APPROVED BY RAFTSMAN	O.G. FIG. CLASS 514	SUBCLASS 286
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## FIG. 39

〈TABLE A〉

COORDINATES OF THE ACTIVE CENTER SITES IN THE CRYSTAL  
STRUCTURE OF COMPOUND A - FXA COMPLEX ARE SHOWN  
BELOW IN PDB FORMAT

①	②	③	④	⑤	⑥	⑦	⑧	⑨	⑩
ATOM	784	N	LYS	96	4.741	10.202	10.448	1.00	23.85
ATOM	785	H	LYS	96	5.064	9.293	10.312	1.00	0.00
ATOM	786	CA	LYS	96	4.804	11.268	9.454	1.00	22.65
ATOM	787	CB	LYS	96	5.745	10.872	8.307	1.00	27.27
ATOM	788	CG	LYS	96	6.091	9.385	8.228	1.00	30.35
ATOM	789	CD	LYS	96	7.164	9.009	9.239	1.00	29.56
ATOM	790	CE	LYS	96	7.405	7.504	9.282	1.00	32.56
ATOM	791	NZ	LYS	96	6.242	6.736	9.818	1.00	28.13
ATOM	792	HZ1	LYS	96	5.404	6.920	9.230	1.00	0.00
ATOM	793	HZ2	LYS	96	6.041	7.047	10.791	1.00	0.00
ATOM	794	HZ3	LYS	96	6.459	5.720	9.815	1.00	0.00
ATOM	795	C	LYS	96	3.431	11.693	8.910	1.00	20.65
ATOM	796	O	LYS	96	3.285	12.797	8.388	1.00	16.33
ATOM	797	N	GLU	97	2.422	10.839	9.093	1.00	19.10
ATOM	798	H	GLU	97	2.641	10.040	9.589	1.00	0.00
ATOM	799	CA	GLU	97	1.066	11.119	8.610	1.00	19.57
ATOM	800	CB	GLU	97	0.233	9.842	8.507	1.00	18.38
ATOM	801	CG	GLU	97	0.703	8.698	9.374	1.00	29.43
ATOM	802	CD	GLU	97	1.871	7.943	8.757	1.00	39.21
ATOM	803	OE1	GLU	97	2.967	7.943	9.362	1.00	48.48
ATOM	804	OE2	GLU	97	1.693	7.350	7.666	1.00	41.77
ATOM	805	C	GLU	97	0.309	12.140	9.438	1.00	19.94
ATOM	806	O	GLU	97	-0.542	12.860	8.929	1.00	15.85
ATOM	807	N	THR	98	0.604	12.181	10.728	1.00	21.36
ATOM	808	H	THR	98	1.261	11.557	11.087	1.00	0.00
ATOM	809	CA	THR	98	-0.056	13.124	11.626	1.00	21.20
ATOM	810	CB	THR	98	-0.611	12.419	12.855	1.00	20.12
ATOM	811	OG1	THR	98	0.473	11.796	13.553	1.00	25.14
ATOM	812	HG1	THR	98	0.192	11.011	14.047	1.00	0.00
ATOM	813	CG2	THR	98	-1.640	11.363	12.458	1.00	26.75
ATOM	814	C	THR	98	0.947	14.110	12.156	1.00	19.21
ATOM	815	O	THR	98	0.591	15.212	12.538	1.00	22.96
ATOM	816	N	TYR	99	2.209	13.691	12.171	1.00	18.62
ATOM	817	H	TYR	99	2.395	12.809	11.804	1.00	0.00
ATOM	818	CA	TYR	99	3.304	14.486	12.711	1.00	17.73
ATOM	819	CB	TYR	99	3.410	15.846	12.010	1.00	14.99
ATOM	820	CG	TYR	99	4.440	15.851	10.912	1.00	14.90
ATOM	821	CD1	TYR	99	5.423	16.839	10.848	1.00	15.28
ATOM	822	CE1	TYR	99	6.420	16.804	9.870	1.00	17.93
ATOM	823	CD2	TYR	99	4.477	14.828	9.968	1.00	18.54
ATOM	824	CE2	TYR	99	5.464	14.786	8.993	1.00	25.43
ATOM	825	CZ	TYR	99	6.432	15.771	8.954	1.00	25.32
ATOM	826	OH	TYR	99	7.412	15.709	7.995	1.00	32.89
ATOM	827	HH	TYR	99	7.202	15.004	7.373	1.00	0.00
ATOM	828	C	TYR	99	3.098	14.642	14.220	1.00	18.17
ATOM	829	O	TYR	99	3.565	15.592	14.844	1.00	21.63
ATOM	1577	N	PHE	174	-4.467	21.058	8.884	1.00	13.87
ATOM	1578	H	PHE	174	-4.036	21.860	9.243	1.00	0.00
ATOM	1579	CA	PHE	174	-4.243	19.756	9.527	1.00	14.33
ATOM	1580	CB	PHE	174	-2.773	19.378	9.454	1.00	8.22
ATOM	1581	CG	PHE	174	-2.290	19.047	8.090	1.00	4.53
ATOM	1582	CD1	PHE	174	-2.151	17.728	7.701	1.00	2.00
ATOM	1583	CD2	PHE	174	-1.861	20.046	7.229	1.00	8.32
ATOM	1584	CE1	PHE	174	-1.582	17.407	6.477	1.00	4.74
ATOM	1585	CE2	PHE	174	-1.288	19.729	6.002	1.00	8.59
ATOM	1586	CZ	PHE	174	-1.148	18.407	5.632	1.00	11.56

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APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	250

## FIG. 40

CONTINUED FROM <TABLE A>

ATOM	1587	C	PHE	174	-4.654	19.705	11.000	1.00	16.38
ATOM	1588	O	PHE	174	-4.788	20.738	11.654	1.00	20.64
ATOM	1745	N	ASP	189	8.408	33.948	10.783	1.00	12.09
ATOM	1746	H	ASP	189	9.304	34.162	10.443	1.00	0.00
ATOM	1747	CA	ASP	189	8.045	32.569	11.126	1.00	14.13
ATOM	1748	CB	ASP	189	7.060	32.074	10.052	1.00	18.27
ATOM	1749	CG	ASP	189	6.299	30.818	10.447	1.00	29.21
ATOM	1750	OD1	ASP	189	6.899	29.872	11.005	1.00	26.93
ATOM	1751	OD2	ASP	189	5.077	30.767	10.152	1.00	28.08
ATOM	1752	C	ASP	189	9.333	31.731	11.053	1.00	14.52
ATOM	1753	O	ASP	189	10.370	32.219	10.606	1.00	17.15
ATOM	1754	N	ALA	190	9.301	30.508	11.571	1.00	10.01
ATOM	1755	H	ALA	190	8.522	30.238	12.091	1.00	0.00
ATOM	1756	CA	ALA	190	10.453	29.620	11.470	1.00	5.72
ATOM	1757	CB	ALA	190	10.325	28.473	12.450	1.00	9.56
ATOM	1758	C	ALA	190	10.396	29.112	10.025	1.00	5.82
ATOM	1759	O	ALA	190	9.799	29.761	9.186	1.00	9.42
ATOM	1760	N	CYS	191	10.988	27.960	9.728	1.00	3.41
ATOM	1761	H	CYS	191	11.414	27.439	10.437	1.00	0.00
ATOM	1762	CA	CYS	191	10.979	27.440	8.360	1.00	6.67
ATOM	1763	C	CYS	191	11.864	26.196	8.255	1.00	5.52
ATOM	1764	O	CYS	191	12.375	25.715	9.277	1.00	6.15
ATOM	1765	CB	CYS	191	11.469	28.518	7.387	1.00	8.63
ATOM	1766	SG	CYS	191	11.102	28.223	5.629	1.00	18.50
ATOM	1767	N	GLN	192	12.098	25.713	7.033	1.00	5.87
ATOM	1768	H	GLN	192	11.679	26.167	6.270	1.00	0.00
ATOM	1769	CA	GLN	192	12.925	24.515	6.820	1.00	10.96
ATOM	1770	CB	GLN	192	13.086	24.254	5.331	1.00	17.72
ATOM	1771	CG	GLN	192	13.700	22.910	5.018	1.00	32.49
ATOM	1772	CD	GLN	192	14.143	22.802	3.575	1.00	41.58
ATOM	1773	OET	GLN	192	15.327	22.950	3.264	1.00	44.38
ATOM	1774	NE2	GLN	192	13.194	22.551	2.678	1.00	43.85
ATOM	1775	HE21	GLN	192	12.259	22.433	2.903	1.00	0.00
ATOM	1776	HE22	GLN	192	13.527	22.509	1.763	1.00	0.00
ATOM	1777	C	GLN	192	14.316	24.525	7.478	1.00	7.56
ATOM	1778	O	GLN	192	14.974	25.563	7.548	1.00	2.44
ATOM	1779	N	GLY	193	14.761	23.372	7.964	1.00	8.11
ATOM	1780	H	GLY	193	14.237	22.555	7.869	1.00	0.00
ATOM	1781	CA	GLY	193	16.064	23.313	8.603	1.00	9.56
ATOM	1782	C	GLY	193	16.035	23.720	10.065	1.00	11.52
ATOM	1783	O	GLY	193	16.889	23.315	10.843	1.00	15.90
ATOM	1784	N	ASP	194	15.080	24.571	10.431	1.00	12.53
ATOM	1785	H	ASP	194	14.493	24.947	9.749	1.00	0.00
ATOM	1786	CA	ASP	194	14.915	24.987	11.814	1.00	7.55
ATOM	1787	CB	ASP	194	13.908	26.131	11.915	1.00	2.00
ATOM	1788	CG	ASP	194	14.475	27.465	11.459	1.00	2.00
ATOM	1789	OD1	ASP	194	13.728	28.235	10.814	1.00	4.12
ATOM	1790	OD2	ASP	194	15.651	27.765	11.761	1.00	7.76
ATOM	1791	C	ASP	194	14.402	23.791	12.621	1.00	9.73
ATOM	1792	O	ASP	194	14.536	23.750	13.840	1.00	12.71
ATOM	1793	N	SER	195	13.804	22.823	11.938	1.00	6.99
ATOM	1794	H	SER	195	13.748	22.953	10.974	1.00	0.00
ATOM	1795	CA	SER	195	13.264	21.625	12.589	1.00	10.57
ATOM	1796	CB	SER	195	12.968	20.532	11.555	1.00	10.36
ATOM	1797	OG	SER	195	11.748	20.787	10.868	1.00	8.45
ATOM	1798	HG	SER	195	11.756	21.696	10.548	1.00	0.00
ATOM	1799	C	SER	195	14.136	21.054	13.707	1.00	8.30
ATOM	1800	O	SER	195	15.298	20.752	13.486	1.00	13.26
ATOM	1965	N	VAL	213	10.619	22.878	17.479	1.00	8.87
ATOM	1966	H	VAL	213	11.529	23.087	17.805	1.00	0.00

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APPROVED BY DRAFTSMAN	O.G. FIG.	
	CLASS	SUBCLASS
	514	250

## FIG. 41

CONTINUED FROM <TABLE A>

ATOM	1967	CA	VAL	213	10.217	23.245	16.105	1.00	3.61
ATOM	1968	CB	VAL	213	11.363	23.956	15.352	1.00	4.20
ATOM	1969	CG1	VAL	213	11.061	24.047	13.872	1.00	2.00
ATOM	1970	CG2	VAL	213	11.554	25.346	15.896	1.00	2.00
ATOM	1971	C	VAL	213	9.808	21.980	15.336	1.00	5.71
ATOM	1972	O	VAL	213	10.634	21.095	15.120	1.00	2.00
ATOM	1973	N	SER	214	8.540	21.914	14.921	1.00	8.94
ATOM	1974	H	SER	214	7.946	22.664	15.112	1.00	0.00
ATOM	1975	CA	SER	214	8.004	20.737	14.221	1.00	8.85
ATOM	1976	CB	SER	214	6.839	20.152	15.020	1.00	10.34
ATOM	1977	OG	SER	214	6.380	18.937	14.453	1.00	8.56
ATOM	1978	HG	SER	214	5.666	18.601	15.008	1.00	0.00
ATOM	1979	C	SER	214	7.592	20.874	12.744	1.00	12.05
ATOM	1980	O	SER	214	8.239	20.305	11.862	1.00	14.40
ATOM	1981	N	TRP	215	6.490	21.567	12.478	1.00	14.50
ATOM	1982	H	TRP	215	5.987	21.982	13.213	1.00	0.00
ATOM	1983	CA	TRP	215	6.011	21.750	11.101	1.00	11.54
ATOM	1984	CB	TRP	215	5.193	20.536	10.632	1.00	11.75
ATOM	1985	CG	TRP	215	3.961	20.290	11.450	1.00	12.42
ATOM	1986	CD2	TRP	215	2.631	20.752	11.174	1.00	18.81
ATOM	1987	CE2	TRP	215	1.811	20.311	12.230	1.00	16.79
ATOM	1988	CE3	TRP	215	2.051	21.492	10.133	1.00	25.81
ATOM	1989	CD1	TRP	215	3.891	19.611	12.617	1.00	12.16
ATOM	1990	NE1	TRP	215	2.607	19.618	13.097	1.00	17.72
ATOM	1991	HE1	TRP	215	2.296	19.183	13.914	1.00	0.00
ATOM	1992	CZ2	TRP	215	0.441	20.585	12.285	1.00	16.94
ATOM	1993	CZ3	TRP	215	0.688	21.767	10.185	1.00	25.39
ATOM	1994	CH2	TRP	215	-0.101	21.310	11.259	1.00	22.37
ATOM	1995	C	TRP	215	5.167	23.007	10.918	1.00	14.86
ATOM	1996	O	TRP	215	4.957	23.789	11.854	1.00	14.41
ATOM	1997	N	GLY	216	4.675	23.173	9.695	1.00	16.84
ATOM	1998	H	GLY	216	4.881	22.520	8.995	1.00	0.00
ATOM	1999	CA	GLY	216	3.850	24.316	9.345	1.00	17.38
ATOM	2000	C	GLY	216	3.471	24.237	7.880	1.00	20.21
ATOM	2001	O	GLY	216	3.922	23.333	7.173	1.00	20.21
ATOM	2002	N	GLU	217	2.587	25.129	7.440	1.00	19.61
ATOM	2003	H	GLU	217	2.216	25.764	8.085	1.00	0.00
ATOM	2004	CA	GLU	217	2.153	25.176	6.042	1.00	12.11
ATOM	2005	CB	GLU	217	0.645	25.351	5.953	1.00	6.63
ATOM	2006	CG	GLU	217	-0.079	24.049	6.207	1.00	20.42
ATOM	2007	CD	GLU	217	-1.531	24.228	6.610	1.00	23.62
ATOM	2008	OE1	GLU	217	-2.230	25.085	6.031	1.00	24.43
ATOM	2009	OE2	GLU	217	-1.975	23.500	7.521	1.00	28.17
ATOM	2010	C	GLU	217	2.897	26.300	5.348	1.00	11.70
ATOM	2011	O	GLU	217	2.504	27.469	5.400	1.00	6.58
ATOM	2012	N	GLY	218	4.013	25.919	4.738	1.00	11.12
ATOM	2013	H	GLY	218	4.256	24.974	4.781	1.00	0.00
ATOM	2014	CA	GLY	218	4.865	26.877	4.065	1.00	15.66
ATOM	2015	C	GLY	218	5.754	27.559	5.090	1.00	12.39
ATOM	2016	O	GLY	218	6.206	26.925	6.034	1.00	15.04
ATOM	2017	N	CYS	220	6.020	28.842	4.900	1.00	9.76
ATOM	2018	H	CYS	220	5.639	29.259	4.101	1.00	0.00
ATOM	2019	CA	CYS	220	6.833	29.599	5.838	1.00	9.17
ATOM	2020	C	CYS	220	6.352	31.034	5.783	1.00	13.52
ATOM	2021	O	CYS	220	6.480	31.710	4.759	1.00	15.23
ATOM	2022	CB	CYS	220	8.336	29.530	5.506	1.00	9.55
ATOM	2023	SG	CYS	220	9.098	27.875	5.612	1.00	10.99
ATOM	2092	N	GLY	226	3.145	28.841	13.069	1.00	12.64
ATOM	2093	H	GLY	226	3.142	29.684	13.567	1.00	0.00
ATOM	2094	CA	GLY	226	4.219	27.901	13.325	1.00	7.11

10/26/2000 - 12/27/01

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	250

FIG. 42

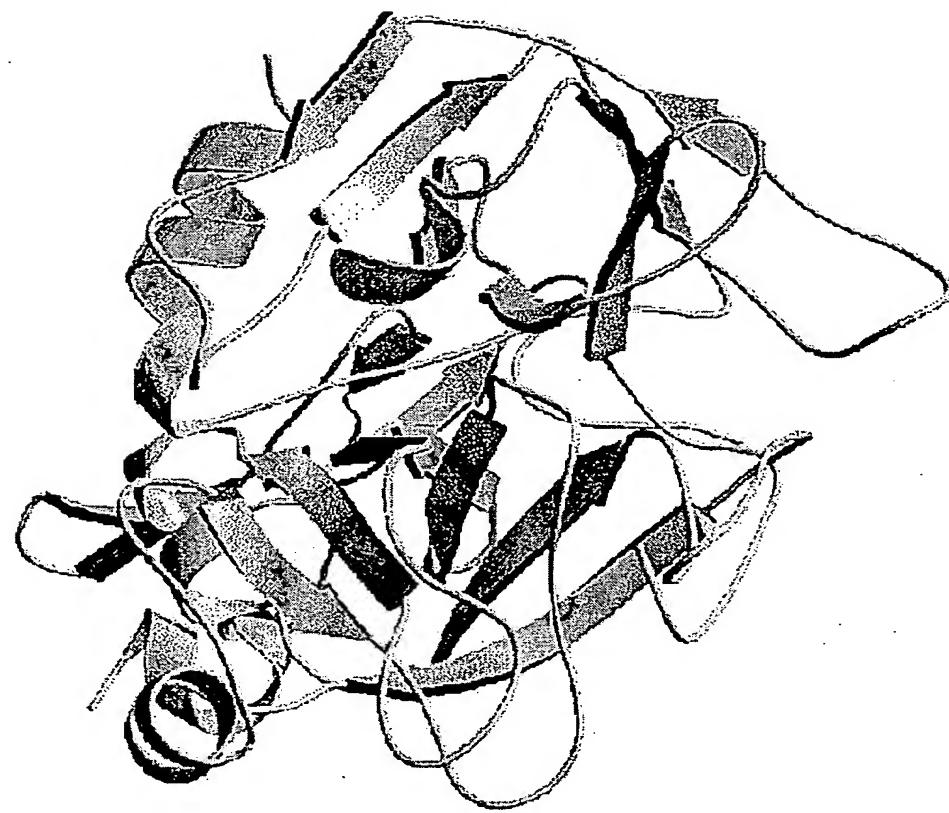
CONTINUED FROM <TABLE A>

ATOM	2095	C	GLY	226	3.728	26.832	14.287	1.00	2.00
ATOM	2096	O	GLY	226	3.203	27.151	15.355	1.00	2.00
ATOM	2097	N	ILE	227	3.858	25.569	13.899	1.00	2.00
ATOM	2098	H	ILE	227	4.255	25.373	13.019	1.00	0.00
ATOM	2099	CA	ILE	227	3.418	24.465	14.748	1.00	8.14
ATOM	2100	C8	ILE	227	2.711	23.360	13.916	1.00	10.97
ATOM	2101	CG2	ILE	227	2.093	22.318	14.848	1.00	12.70
ATOM	2102	CG1	ILE	227	1.662	23.971	12.978	1.00	10.26
ATOM	2103	CD1	ILE	227	0.580	24.750	13.583	1.00	5.42
ATOM	2104	C	ILE	227	4.593	23.829	15.500	1.00	8.86
ATOM	2105	O	ILE	227	5.455	23.179	14.902	1.00	14.80
ATOM	2106	N	TYR	228	4.632	24.017	16.811	1.00	9.76
ATOM	2107	H	TYR	228	3.915	24.543	17.234	1.00	0.00
ATOM	2108	CA	TYR	228	5.701	23.457	17.628	1.00	5.66
ATOM	2109	C8	TYR	228	6.321	24.544	18.502	1.00	3.07
ATOM	2110	CG	TYR	228	6.709	25.806	17.775	1.00	2.00
ATOM	2111	CD1	TYR	228	5.745	26.627	17.220	1.00	6.58
ATOM	2112	CE1	TYR	228	6.085	27.801	16.566	1.00	8.20
ATOM	2113	CD2	TYR	228	8.042	26.192	17.667	1.00	2.00
ATOM	2114	CE2	TYR	228	8.397	27.372	17.017	1.00	4.75
ATOM	2115	CZ	TYR	228	7.407	28.171	16.464	1.00	7.14
ATOM	2116	OH	TYR	228	7.726	29.323	15.773	1.00	17.75
ATOM	2117	HH	TYR	228	8.674	29.467	15.777	1.00	0.00
ATOM	2118	C	TYR	228	5.182	22.342	18.535	1.00	5.39
ATOM	2119	O	TYR	228	4.005	22.311	18.874	1.00	8.14
ATOM	2750	C	M32	300	8.267	25.094	7.801	1.00	25.03
ATOM	2751	C1	M32	300	8.858	23.853	7.444	1.00	25.98
ATOM	2752	C2	M32	300	8.379	24.441	10.246	1.00	20.98
ATOM	2753	C3	M32	300	8.980	23.178	9.869	1.00	24.37
ATOM	2754	C4	M32	300	9.212	22.895	8.505	1.00	29.21
ATOM	2755	C5	M32	300	8.010	25.433	9.161	1.00	22.21
ATOM	2756	CL1	M32	300	7.230	26.454	13.601	1.00	25.81
ATOM	2757	C6	M32	300	7.411	26.704	9.530	1.00	19.62
ATOM	2758	C7	M32	300	8.120	24.794	11.600	1.00	21.01
ATOM	2759	C8	M32	300	7.183	26.988	10.884	1.00	18.60
ATOM	2760	C9	M32	300	7.533	26.044	11.925	1.00	19.36
ATOM	2761	S	M32	300	9.015	23.469	5.780	1.00	17.20
ATOM	2762	O	M32	300	9.071	24.779	4.968	1.00	16.38
ATOM	2763	O1	M32	300	10.242	22.582	5.534	1.00	17.25
ATOM	2764	C10	M32	300	5.199	22.312	4.491	1.00	27.00
ATOM	2765	O2	M32	300	4.122	22.777	4.126	1.00	32.92
ATOM	2766	C11	M32	300	6.360	23.283	4.882	1.00	23.85
ATOM	2767	N	M32	300	7.627	22.680	5.299	1.00	22.06
ATOM	2768	C12	M32	300	6.769	20.390	5.043	1.00	32.04
ATOM	2769	C13	M32	300	7.755	20.001	3.914	1.00	39.28
ATOM	2770	O3	M32	300	7.210	19.046	2.977	1.00	47.51
ATOM	2771	C14	M32	300	7.939	18.829	1.852	1.00	48.79
ATOM	2772	C15	M32	300	7.490	21.418	5.984	1.00	25.44
ATOM	2773	N1	M32	300	5.477	20.938	4.593	1.00	29.98
ATOM	2774	C16	M32	300	4.491	19.869	4.670	1.00	30.81
ATOM	2775	C17	M32	300	0.442	15.501	8.992	1.00	49.84
ATOM	2776	N2	M32	300	2.584	18.058	7.186	1.00	41.26
ATOM	2777	C18	M32	300	1.691	17.430	8.145	1.00	44.57
ATOM	2778	C19	M32	300	1.309	16.052	8.031	1.00	46.32
ATOM	2779	C20	M32	300	2.968	19.503	7.333	1.00	38.25
ATOM	2780	C21	M32	300	1.145	18.166	9.257	1.00	43.40
ATOM	2781	C22	M32	300	3.160	17.297	6.016	1.00	39.49
ATOM	2782	C23	M32	300	5.033	19.081	5.868	1.00	36.22
ATOM	2783	O4	M32	300	6.468	19.227	5.792	1.00	37.50
ATOM	2784	C24	M32	300	4.489	19.666	7.202	1.00	33.76
ATOM	2785	N3	M32	300	-0.065	16.209	10.032	1.00	49.11
ATOM	2786	C25	M32	300	0.286	17.511	10.160	1.00	45.71
ATOM	2787	C26	M32	300	4.673	17.567	5.876	1.00	35.53

END

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	256

FIG. 43



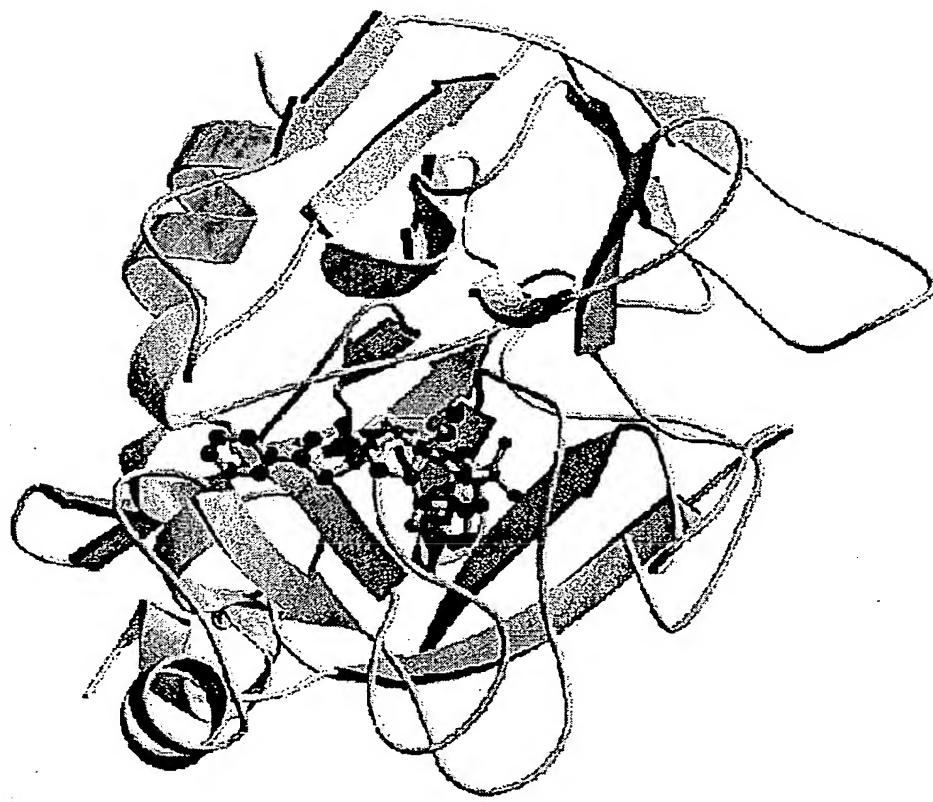
Human Factor Xa (Des-Gla domain)

40026606-12271

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	514	250

FIG. 44

19926606-122701

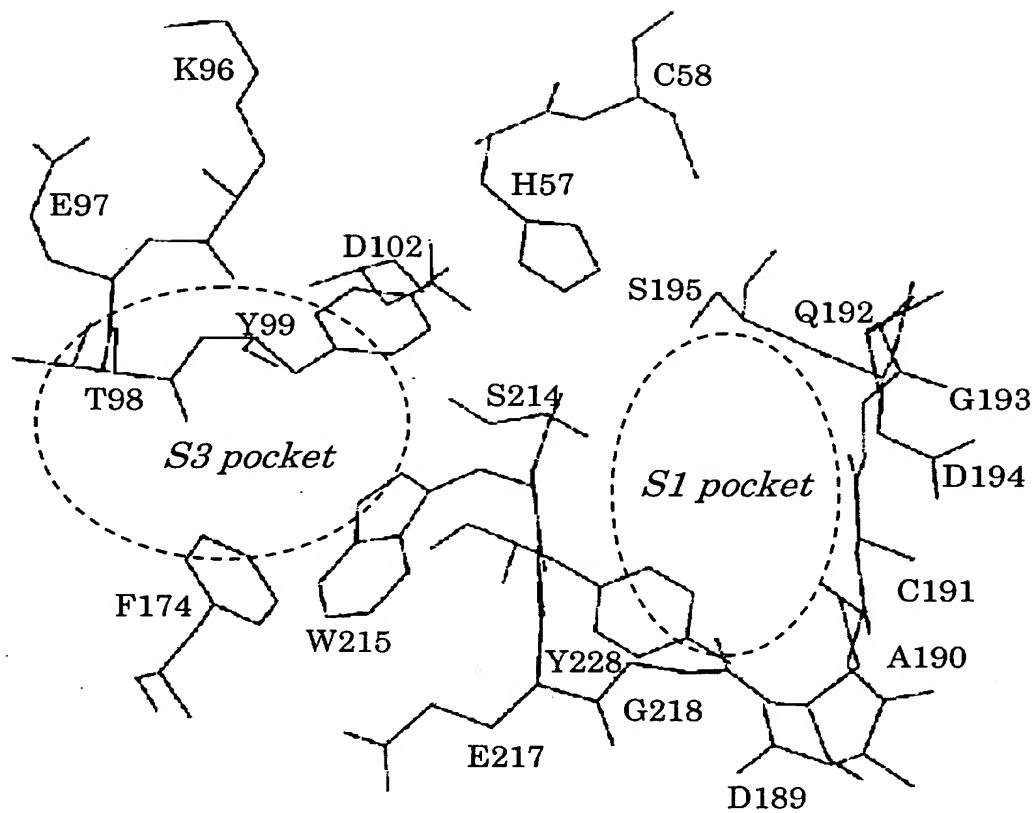


Human Factor Xa (Des-Gla domain)–Compound A

APPROVED	O.G. FIG.
BY	CLASS SUBCLASS
DRAFTSMAN	54 250

FIG. 45

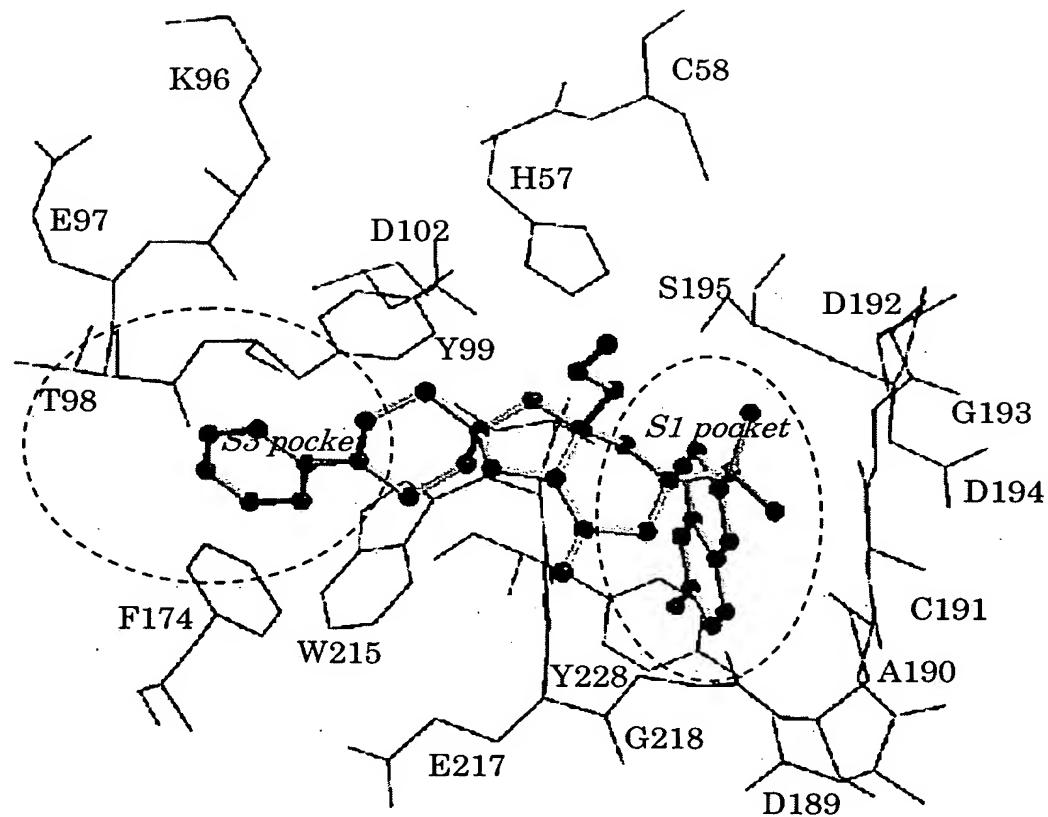
10026606-122204



Factor Xa Active Site

APPROVED	O.G. FIG.
BY	CLASS SUBCLASS
DRAFTSMAN	S14 250

FIG. 46

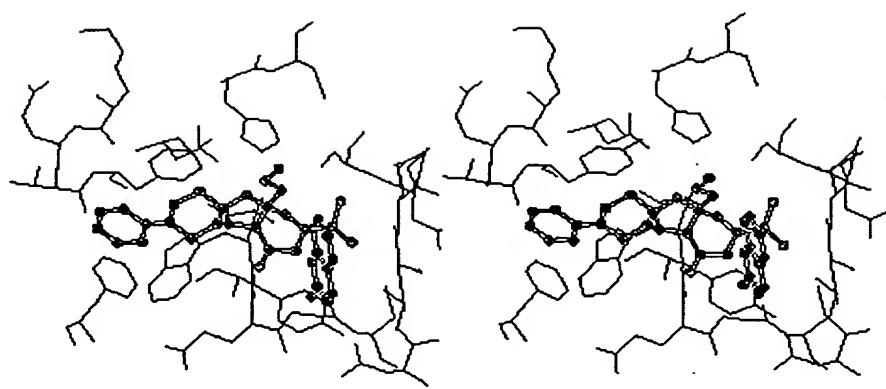


Factor Xa Active Site occupied Compound A

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	511	250

FIG. 47

10002606-122014



Stereo View  
Factor Xa Active Site occupied Compound A

APPROVED	O.G. FIG.	
BY	CLASS	SUBCLASS
DRAFTSMAN	5K	280

## FIG. 48

- ① CHYMOTRYPSIN NO. IN 1FAX STRUCTURE
- ② AMINO ACID SEQUENCE OF THE SERINE PROTEASE DOMAIN IN FXA
- ③ SERIAL NO. OF THE RESIDUES OF THE SERINE PROTEASE DOMAIN IN FXA

①	②	③	①	②	③	①	②	③	①	②	③	①	②	③
16	ILE	1	67	ARG	53	119	ALA	105	169	LYS	157	220	CYS	209
17	VAL	2	68	VAL	54	120	PRO	106	170	LEU	158	221	ALA	210
18	GLY	3	69	GLY	55	121	ALA	107	171	SER	159	222	ARG	211
19	GLY	4	70	ASP	56	122	CYS	108	172	SER	160	223	LYS	212
20	GLN	5	71	ARG	57	123	LEU	109	173	SER	161	223A	GLY	213
21	GLU	6	72	ASN	58	124	PRO	110	174	PHE	162	224	LYS	214
22	CYS	7	73	THR	59	124A	GLU	111	175	ILE	163	225	TYR	215
23	LYS	8	74	ALA	60	125	ARG	112	176	ILE	164	226	GLY	216
24	ASP	9	75	ALA	61	126	ASP	113	177	THR	165	227	ILE	217
25	GLY	10	76	GLU	62	127	TRP	114	178	GLN	166	228	TYR	218
26	GLU	11	77	GLU	63	128	ALA	115	179	ASN	167	229	THR	219
27	CYS	12	78	GLY	64	129	GLU	116	180	MET	168	230	LYS	220
28	PRO	13	79	GLY	65	130	SER	117	181	PHE	169	231	VAL	221
29	TRP	14	80	GLU	66	131	THR	118	182	CYS	170	232	THR	222
30	GLN	15	81	ALA	67	131A	LEU	119	183	ALA	171	233	ALA	223
31	ALA	16	82	VAL	68	131B	MET	120	184	GLY	172	234	PHE	224
32	LEU	17	83	HIS	69	132	THR	121	185	TYR	173	235	LEU	225
33	LEU	18	84	GLU	70	133	GLN	122	185A	ASP	174	236	LYS	226
34	ILE	19	85	VAL	71	134	LYS	123	185B	THR	175	237	TRP	227
35	ASN	20	86	GLU	72	135	THR	124	186	LYS	176	238	ILE	228
36	GLU	21	87	VAL	73	136	GLY	125	187	GLN	177	239	ASP	229
37	GLU	22	88	VAL	74	137	ILE	126	188	GLU	178	240	ARG	230
38	ASN	23	89	ILE	75	138	VAL	127	189	ASP	179	241	SER	231
39	GLU	24	90	LYS	76	139	SER	128	190	ALA	180	242	MET	232
40	GLY	25	91	HIS	77	140	GLY	129	191	CYS	181	243	LYS	233
41	PHE	26	92	ASN	78	141	PHE	130	192	GLN	182	244	THR	234
42	CYS	27	93	ARG	79	142	GLY	131	193	GLY	183			
43	GLY	28	94	PHE	80	143	ARG	132	194	ASP	184			
44	GLY	29	95	THR	81	144	THR	133	195	SER	185			
45	THR	30	96	LYS	82	145	HIS	134	196	GLY	186			
46	ILE	31	97	GLU	83	147	GLU	135	197	GLY	187			
47	LEU	32	98	THR	84	148	LYS	136	198	PRO	188			
48	SER	33	99	TYR	85	149	GLY	137	199	HIS	189			
49	GLU	34	100	ASP	86	150	ARG	138	200	VAL	190			
50	PHE	35	101	PHE	87	151	GLN	139	201	THR	191			
51	TYR	36	102	ASP	88	152	SER	140	202	ARG	192			
52	ILE	37	103	ILE	89	153	THR	141	203	PHE	193			
53	LEU	38	104	ALA	90	154	ARG	142	204	LYS	194			
54	THR	39	105	VAL	91	155	LEU	143	205	ASP	195			
55	ALA	40	106	LEU	92	156	LYS	144	206	THR	196			
56	ALA	41	107	ARG	93	157	MET	145	207	TYR	197			
57	HIS	42	108	LEU	94	158	LEU	146	208	PHE	198			
58	CYS	43	109	LYS	95	159	GLU	147	209	VAL	199			
59	LEU	44	110	THR	96	160	VAL	148	210	THR	200			
60	TYR	45	111	PRO	97	161	PRO	149	211	GLY	201			
61	GLN	46	112	ILE	98	162	TYR	150	212	ILE	202			
61A	ALA	47	113	THR	99	163	VAL	151	213	VAL	203			
62	LYS	48	114	PHE	100	164	ASP	152	214	SER	204			
63	ARG	49	115	ARG	101	165	ARG	153	215	TRP	205			
64	PHE	50	116	MET	102	166	ASN	154	216	GLY	206			
65	LYS	51	117	ASN	103	167	SER	155	217	GLU	207			
66	VAL	52	118	VAL	104	168	CYS	156	218	GLY	208			